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=>
Uploading C:\Program Files\Stnexp\Queries\10509128-broad.str

L2       STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10509128-not.str

L4       STRUCTURE UPLOADED


=> d his

     (FILE 'HOME' ENTERED AT 17:00:55 ON 31 DEC 2007)

     FILE 'REGISTRY' ENTERED AT 17:01:04 ON 31 DEC 2007
L1        345009 S NC5/ESS (S) NC4/ESS
L2               STRUCTURE UPLOADED
L3             2 S L2 SAM SUB=L1

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     FILE 'REGISTRY' ENTERED AT 17:02:39 ON 31 DEC 2007
L4               STRUCTURE UPLOADED
L5           353 S L2 SSS FULL SUB=L1
L6             4 S L4 SAM SUB=L5
L7           117 S L4 SSS FULL SUB=L5
L8           236 S L5 NOT L7

     FILE 'CAPLUS' ENTERED AT 17:03:29 ON 31 DEC 2007
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L10            1 S US200!-509128/APPS
L11           25 S L9 NOT L10

     FILE 'REGISTRY' ENTERED AT 17:03:49 ON 31 DEC 2007

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L2               STR
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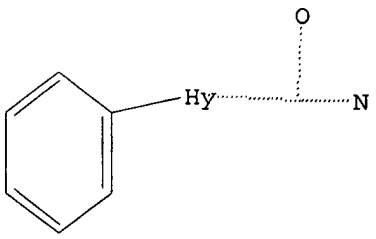


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Structure attributes must be viewed using STN Express query preparation.


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L4 HAS NO ANSWERS
L4               STR
Cy——N

Structure attributes must be viewed using STN Express query preparation.
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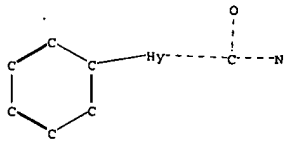
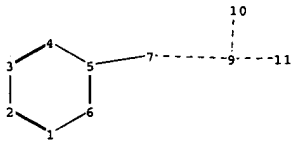


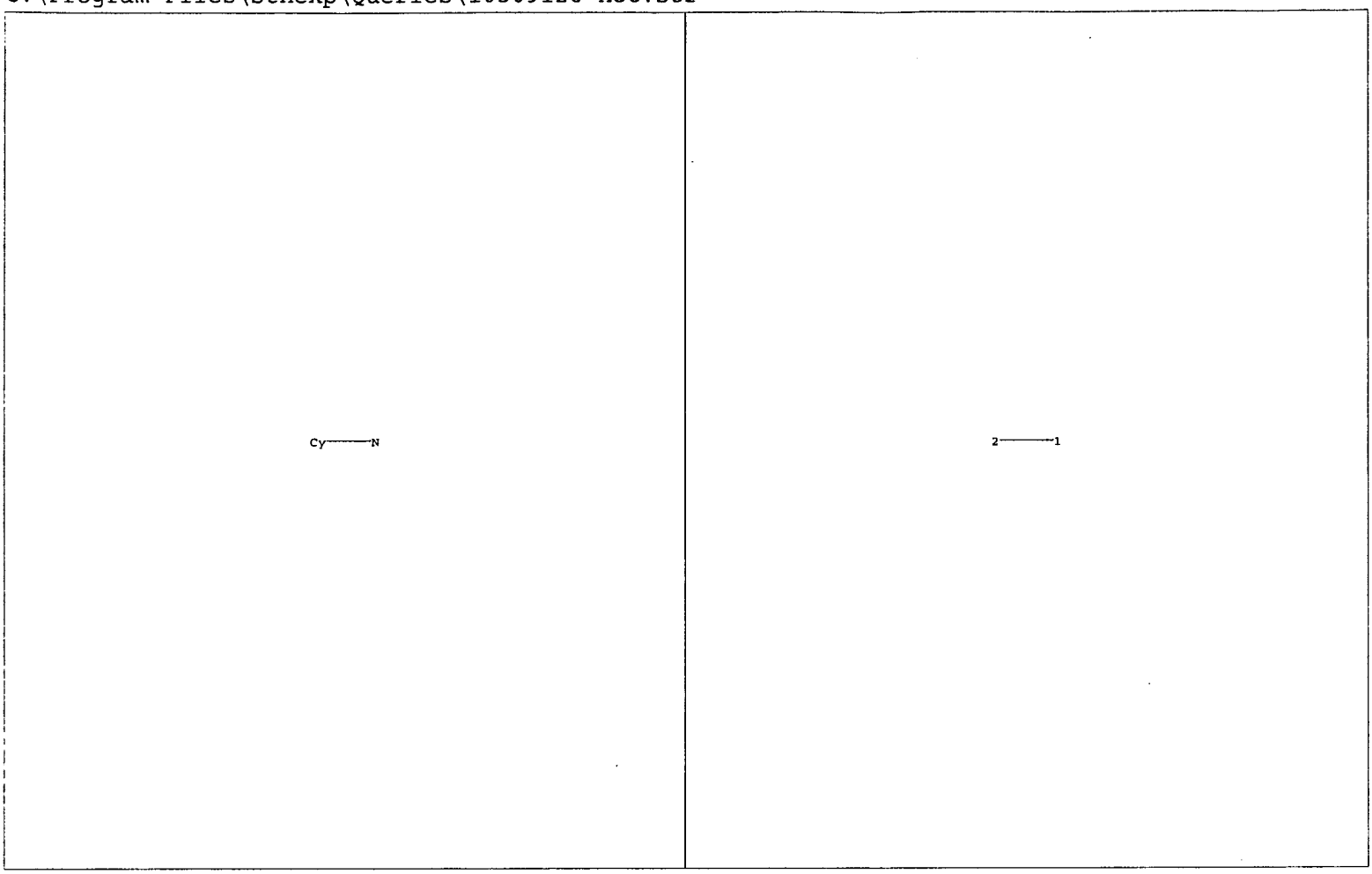


chain nodes :
7 9 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-9 9-10 9-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
5-7 7-9 9-10 9-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 10:CLASS 11:CLASS
Generic attributes :
7:
Saturation : Unsaturated
Number of Hetero Atoms : 2 or more
Type of Ring System : Polycyclic

Element Count :
Node 7: Limited
N,N2
C,C7

C:\Program Files\Stnexp\Queries\10509128-not.str



chain nodes :
    2
ring nodes :
    1
chain bonds :
    1-2
exact/norm bonds :
    1-2

Match level :
    1:Atom 2:Atom

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796704 CAPLUS
DN 139:307749
TI Preparation of 7-azaindoles as inhibitors of c-Jun N-terminal kinases for treatment of neurodegenerative disorders
IN Graczyk, Piotr; Numata, Hirotoshi; Khan, Afzal; Palmer, Vanessa
PA Eisai London Research Laboratories Limited, UK
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082868	A1	20031009	WO 2003-GB1112	20030317
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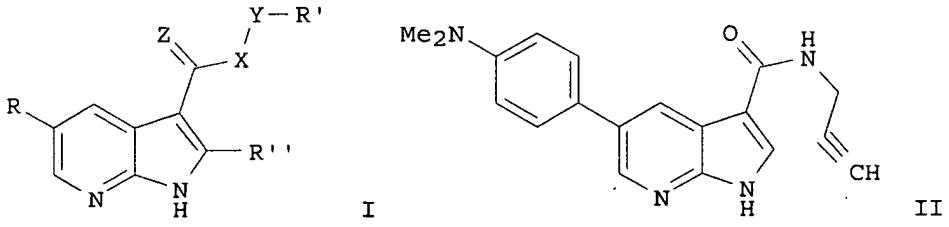
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2480317	A1	20031009	CA 2003-2480317	20030317
	AU 2003214412	A1	20031013	AU 2003-214412	20030317
	EP 1490364	A1	20041229	EP 2003-709984	20030317
	EP 1490364	B1	20070926		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1656094	A	20050817	CN 2003-812103	20030317
	JP 2005534618	T	20051117	JP 2003-580333	20030317
	AT 374200	T	20071015	AT 2003-709984	20030317
	US 2005272761	A1	20051208	US 2005-509128	20050728 <--
PRAI	GB 2002-7491	A	20020328		
	GB 2002-17330	A	20020725		
	WO 2003-GB1112	W	20030317		

OS MARPAT 139:307749
GI



AB The title compds. I [wherein R = (un)substituted cyclohydrocarbyl or heterocyclyl; R' = (un)substituted alkyl, alkenyl, alkynyl, cyclohydrocarbyl, or heterocyclyl; R'' = H, (un)substituted alkyl, cyclohydrocarbyl, or heterocyclyl; X = O, S, (un)substituted NH, or alkylene; Y = a single bond, O, (un)substituted NH, or alkylene; Z = O, S, or (un)substituted NH] and pharmaceutically acceptable salts, esters, amides, carbamates, carbonates, ureides, solvates, hydrates, affinity reagents, or prodrugs thereof are prepared as inhibitors of c-Jun N-terminal

kinases (JNK), and are useful for the treatment of neurodegenerative disorders related to apoptosis and/or inflammation (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.52 μM against JNK3 kinase.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1145598 CAPLUS Full-text
DN 147:449083
TI Preparation of pyrrolopyridines and thiazolopyridines, particularly N-[(4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl)carbonyl]glycine and N-(7-hydroxythiazolo[4,5-c]pyridin-6-yl)carbonyl]glycine derivatives, as hypoxia inducible factor hydroxylase modulators
IN Deng, Shaojiang; Wu, Min; Turtle, Eric D.; Ho, Wen-Bin; Arend, Michael P.; Cheng, Heng; Flippin, Lee A.
PA Fibrogen, Inc., USA
SO PCT Int. Appl., 210pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007115315	A2	20071011	WO 2007-US65987	20070404
WO 2007115315	A3	20071206		

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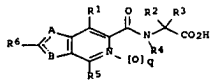
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2006-789310P P 20060404
OS MARPAT 147:449083
GI



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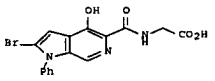
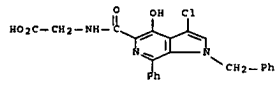


II

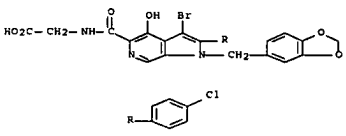
AB The invention is related to compds. I [q = 0-1; A, B = independently :CR7, NH and derivs., :N, S, provided that at least one of the following is present: A = :CR7 and B = NH and derivs.; A = S and B = :N; A = :N and B = S; A = NH and derivs. and B = :CR7; when the bond between A and CR6 is double, the bond between B and CR6 is single and vice-versa; R1 = OH, (un)substituted alkoxyaryloxy, alkylthio, etc.; R2 = H, D, Me; R3 = H, D, (un)substituted alkyl; R4 = H, (un)substituted alkyl; R5,R6 = independently H, halo, CN, OH, (un)substituted heteroaryl, acyl, etc.; or when A or B = CH and derivs., then R6CCR7 = (un)substituted cycloalkenyl, (hetero)aryl] and their pharmaceutically acceptable salts, stereoisomers, esters and prodrugs that modulate the stability and/or activity of hypoxia inducible factor (HIF). Thus, arylation of 2-methyl-1H-pyrrole-3- carboxylic acid Et ester (preparation given) with iodobenzene, bromination with NBS, treatment of 5-bromo-2-bromomethyl-1-phenyl-1H-pyrrole-3-carboxylic acid Et ester with (tert-butoxycarbonylamino)acetic acid Et ester in the presence of NaI in DMF, cyclization in the presence of potassium tert-butoxide in THF/cleavage of tert-butoxycarbonyl group/aromatization (no data for protected tetrahydropyrrolopyridine intermediate), and reaction of the ester with glycine in the presence of NaOMe in methanol gave pyrrolopyridine II. I were active in at least one of the cell-based HIFα stabilization assay, cell-based VEGF and erythropoietin (EPO) ELISA assay, and HIF-PH assay (no data). I are useful for treating, preventing or delaying onset of a condition mediated at least in part by HIF or by EPO.

IT 952394-25-1P, [[(1-Benzyl-3-chloro-4-hydroxy-7-phenyl-1H-pyrrolo[2,3-c]pyridin-5-yl)carbonyl]amino]acetic acid 952394-36-4P, [[[1-[(Benzodioxol-5-yl)methyl]-3-bromo-2-(4-chlorophenyl)-4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]amino]acetic acid 952394-45-5P, [[[1-[(Benzodioxol-5-yl)methyl]-4-hydroxy-2-phenyl-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]amino]acetic acid 952394-47-7P, [[[1-[(Benzodioxol-5-yl)methyl]-2-(4-chlorophenyl)-4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]amino]acetic acid 952394-51-3P, [[[1-[(Benzodioxol-5-yl)methyl]-2-(4-chlorophenyl)-4-hydroxy-3-methyl-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]amino]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of [(pyrrolo[2,3-c]pyridin-5-yl)carbonyl]glycines and [(thiazolo[4,5-c]pyridin-6-yl)carbonyl]glycines as hypoxia inducible factor hydroxylase modulators)

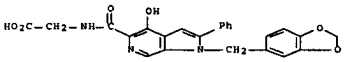
RN 952394-25-1 CAPLUS
CN Glycine, N-[[3-chloro-4-hydroxy-7-phenyl-1-(phenylmethyl)-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]- (CA INDEX NAME)



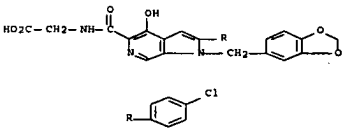
RN 952394-36-4 CAPLUS
CN Glycine, N-[[1-(1,3-benzodioxol-5-ylmethyl)-3-bromo-2-(4-chlorophenyl)-4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]- (CA INDEX NAME)



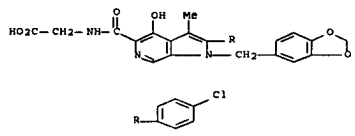
RN 952394-45-5 CAPLUS
CN Glycine, N-[[1-(1,3-benzodioxol-5-ylmethyl)-4-hydroxy-2-phenyl-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]- (CA INDEX NAME)



RN 952394-47-7 CAPLUS
CN Glycine, N-[[1-(1,3-benzodioxol-5-ylmethyl)-2-(4-chlorophenyl)-4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]- (CA INDEX NAME)



RN 952394-51-3 CAPLUS
CN Glycine, N-[[1-(1,3-benzodioxol-5-ylmethyl)-2-(4-chlorophenyl)-4-hydroxy-3-methyl-1H-pyrrolo[2,3-c]pyridin-5-yl]carbonyl]- (CA INDEX NAME)



L11 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:538689 CAPLUS Full-text
DN 146:521800
TI Heterocyclic compounds as tyrosine kinase modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Anikin, Alexey Vyacheslavovich; Gantla, Vidyasagar Reddy; Gregor, Vlad Edward; Jiang, Luyong; Liu, Yahua; Mcgee, Danny Peter Claude; Mikel, Charles Chamchoumis; Pickens, Jason Conrad; Webb, Thomas Roy; Zheng, Yan; Zhu, Tong; Kadushkin, Aleksander; Zozulya, Sergey; Chucholowski, Alexander; Mcgrath, Douglas Eric; Sviridov, Sergey
PA Chembridge Research Laboratories, Inc., USA
SO PCT Int. Appl., 385pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007056155	A1	20070518	WO 2006-US42982	20061102

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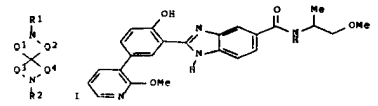
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-734050P P 20051103
OS MARPAT 146:521800
GI

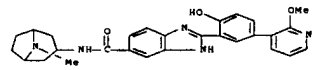


AB The invention provides compds. of formula I and related compds., capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein R1 is (un)substituted heterocyclyl, (un)substituted alkyl, (un)substituted sulfonyl, acyl, etc.; R2 is H, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkylalkyl, (un)substituted (hetero)aryl(alkyl), heterocycloalkyl, etc.; Q1, Q2, Q3 and Q4 are independently. C1-5 alkyl; and their stereoisomers, tautomers, salts, hydrates and prodrugs thereof, are claimed. Example compound II was prepared by amidation of 2-[2-hydroxy-5-(2-methoxypyridin-3- yl)phenyl]benzimidazole-5-carboxylic acid with 1-methoxy-2-propylamine. All the invention compds. were evaluated for their tyrosine kinase modulatory activity (some data given).

IT 936929-43-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic compds. as tyrosine kinase modulators and their use in the treatment of diseases)

RN 936929-43-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[2-hydroxy-5-(2-methoxy-3-pyridinyl)phenyl]-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:88404 CAPLUS Full-text
DN 146:184425
TI Preparation of 1-(arylalkyl)-1H-pyrrolopyridine-2-carboxamide derivatives as VR1 type capsaicin receptor antagonists
IN Dubois, Laurent; Evanno, Yannick; Malanda, Andre
PA Sanofi-Aventis, Fr.
SO PCT Int. Appl., 57pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007010138	A2	20070125	WO 2006-FR1767	20060719
WO 2007010138	A3	20070412		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
FR 2888848	A1	20070126	FR 2005-7804	20050722
FR 2888848	B1	20070928		
PRAI FR 2005-7804	A	20050722		
OS MARPAT 146:184425				
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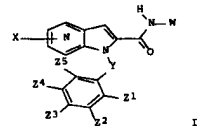

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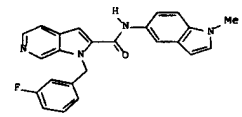


II

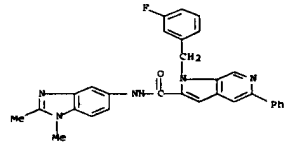
AB Title compds. I [Y = (CH2)n; n = 0-3; the new pyrrolopyridine group = (un)substituted pyrrolo[3,2-b]pyridine, pyrrolo[3,2-c]pyridine, pyrrolo[2,3-c]pyridine, pyrrolo[2,3-b]pyridine; X = halo, cyclo/alkyl, alkoxy, aryl, etc.; Z1-Z5 = independently H, halo, alkyl, CN, etc.; W = (un)substituted indolyl, benzimidazolyl, quinolinyl, benzothiazolyl, etc.; their free bases, and their acid addition salts, and their hydrates and solvates] were prepared as VR1 type capsaicin receptor antagonists for treating diseases it implies. Thus, N-alkylation of Et 1H-pyrrolo[2,3-c]pyridine-2-carboxylate with 3-fluorobenzyl alc. and amidation with 5-amino-1-methyl-1H-indole gave pyrrolo[2,3-c]pyridine II (m.p. = 213-214.5°). In cultured dorsal root ganglion neurons, I inhibited the currents produced by capsaicin (300 nM) in 20-100% of control. In a test evaluating cornea irritation to mice, I gave 20-100% protection when

administered at a dose of 1 mg/kg (po). I are useful for treating irritations, pain (no data), inflammation (no data), urol., gynecol., and gastrointestinal diseases (no data), etc.

IT 920978-62-7P, N-(1,2-Dimethyl-1H-benzimidazol-5-yl)-5-phenyl-1-[(3-fluorophenyl)methyl]-1H-pyrrolo[2,3-c]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 1-(arylalkyl)-1H-pyrrolopyridine-2-carboxamides as VR1 type capsaicin receptor antagonists)

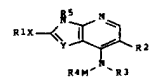
RN 920978-62-7 CAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-(1,2-dimethyl-1H-benzimidazol-5-yl)-1-[(3-fluorophenyl)methyl]-5-phenyl- (CA INDEX NAME)



L11 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:61234 CAPLUS Full-text
DN 146:184461
TI Preparation of as azolopyridines as inhibitors of JAK3 janus protein kinase.
IN Inoue, Takayuki; Tojo, Takashi; Morita, Masataka; Nakajima, Yutaka; Hatanaka, Keiko; Shirakami, Shohei; Sasaki, Hiroshi; Tanaka, Akira; Takahashi, Fumie; Mukoyoshi, Koichiro; Higashi, Yasuyuki; Okimoto, Akira; Hondo, Takeshi; Sawada, Hitoshi
PA Astellas Pharma Inc., Japan
SO PCT Int. Appl., 260pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007007919	A2	20070118	WO 2006-JP314326	20060713
WO 2007007919	A3	20070816		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRAI US 2005-698928P	P	20050714		
JP 2005-378858	A	20051228		
OS MARPAT 146:184461				
GI				



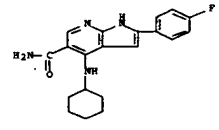
I

AB Title compds. [I; R1 = H, (substituted) alkyl, aryl; X = bond, NH, O; R2 = H, substituent; R3, R5 = H, alkyl; R4 = (substituted) cycloalkyl, heterocycloalkyl, alkyl, aryl, heteroaryl; M = (CH2)n; n = 0-4; Y = N, CR7; R7 = H, NO2, cyano, amino, halo, acyl, (substituted) alkyl; R2R3 = NR6CO; R6 = H, (substituted) alkyl; R3R4 = (substituted) alkylene; with provisos], were prepared Thus, Et 4-chloro-1H-pyrrolo[2,3-b]pyridine-5- carboxylate (preparation given) and (1S,2R)-2-methylcyclohexanamine were refluxed with diisopropylethylamine in BuOH in a sealed tube at 160° under microwave irradiation to give Et 4-[methyl[(1S,2R)-2- methylcyclohexyl]amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylate. The latter inhibited JAK3 by >50% at 10-5 M.

IT 920959-56-4P 920961-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of as azolopyridines as inhibitors of JAK3 janus protein kinase)

RN 920959-56-4 CAPLUS

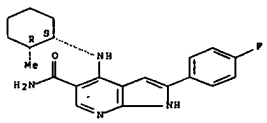
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-(cyclohexylamino)-2-(4-fluorophenyl)- (CA INDEX NAME)



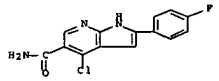
RN 920961-55-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-fluorophenyl)-4-[[(1S,2R)-2-methylcyclohexyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 796032-92-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of as azolopyridines as inhibitors of JAK3 janus protein kinase)
RN 796032-92-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-chloro-2-(4-fluorophenyl)- (CA INDEX NAME)



L11 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1225481 CAPLUS Full-text
DN 145:505449
TI New azabenzimidazolyl and benzimidazolyl fluorene derivatives, compositions containing them and their use for treating cancer
IN Mailliet, Patrick; Bertin, Luc; Guyon, Thierry; Thompson, Fabienne; Ruxer, Jean-Marie; Pilorge, Fabienne; Benard, Didier; Minoux, Herve; Carrez, Chantal; Goulaouic, Helene
PA Aventis Pharma S.A., Fr.
SO PCT Int. Appl., 307pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006123061	A2	20061123	WO 2006-FR1137	20060519
WO 2006123061	A3	20070111		

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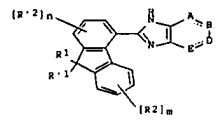
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

FR 2885904	A1	20061124	FR 2005-5037	20050519
FR 2885904	B1	20070706		
AU 2006248825	A1	20061123	AU 2006-248825	20060519
PRAI FR 2005-5037	A	20050519		
WO 2006-FR1137	W	20060519		

OS MARPAT 145:505449
GI



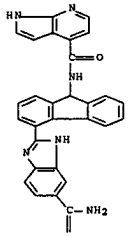
I

AB The invention is related to the preparation of fluorenes I [A, B, D, E = independently CRa, N; Ra = H, halo, CF3, OCF3, NHOH, CN, (un)substituted alkyl, aryl, etc.; when 1 of R1 and R'1 = H, halo, alkyl, alkoxy, hydroxyalkyl, CF3, CN, carboxy, and carboxamido, the other of R1 and R'1 = H, halo, SH, NO2, CN, CONH2, hetero/aryl, etc.; or R1CR'1 = :O, :S, :NOH, :NNH2, :NNHCONH2, :CHOH, etc.; or R1CR'1 = partially saturated 4- to 6-membered cyclyl containing 1-3 heteroatoms selected from O, S, N, NH and derivs.; R2, R'2 = independently H, halo, CF3, NO2, CN, (un)substituted alkyl, alkoxy, etc.; m, n = independently 1-4 and 1-3, resp.], and their tautomers and stereoisomers, and their pharmaceutically acceptable addition salts with mineral and organic acids or bases, and their prodrugs, and their related derivs., and to their use as inhibitors of the activity of the protein chaperone Hsp90, and more particularly their use as inhibitors of the catalytic ATPase activity of Hsp90 for treating cancer and other proliferative disorders. Thus, reacting 9-oxofluorene-4-carbonyl chloride with 3,4-diaminopyridine, followed by cyclization of regioisomeric amides gave 4-(3H-imidazo[4,5-c]pyridin-2-yl)fluoren-9-one (m.p. = 236-238°). Selected I had IC50 in the range of 1 μM to 10 μM for the inhibition of Hsp82 ATPase activity.

IT 915141-29-8P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-(5-aminocarbonyl-1H-benzimidazol-2-yl)-9H-fluoren-9-yl]amide 915142-24-4P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[(methylamino)carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-25-5P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[(dimethylamino)carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-26-6P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[[(2-dimethylaminoethyl)amino]carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-30-2P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[[(3-methoxypropyl)amino]carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-36-8P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[[[2-(pyrrolidin-1-

yl)ethyl]amino]carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-61-9P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[[(3-dimethylaminopropyl)amino]carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915142-85-7P, 1H-Pyrrolo[2,3-b]pyridine-4-carboxylic acid N-[4-[5-[[(3-hydroxypropyl)amino]carbonyl]-1H-benzimidazol-2-yl]-9H-fluoren-9-yl]amide 915143-06-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aza/benzimidazolyl fluorenes as inhibitors of Hsp82 and Hsp90 proteins for treating cancer)
RN 915141-39-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

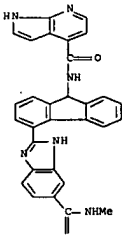
PAGE 1-A



PAGE 2-A

RN 915142-24-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-methyl-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

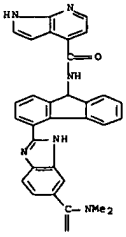
PAGE 1-A



PAGE 2-A

RN 915142-25-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N,N-dimethyl-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

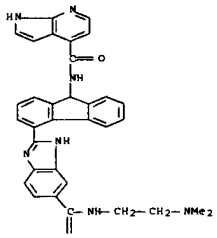
PAGE 1-A



PAGE 2-A

RN 915142-26-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[2-(dimethylamino)ethyl]-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

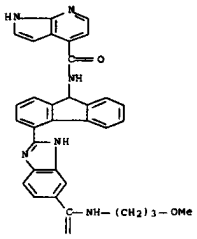
PAGE 1-A



PAGE 2-A

RN 915142-30-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(3-methoxypropyl)-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

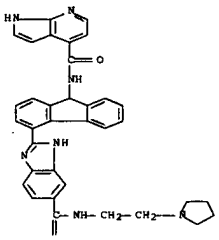
PAGE 1-A



PAGE 2-A

RN 915142-36-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[2-(1-pyrrolidinyl)ethyl]-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

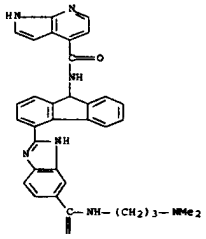
PAGE 1-A



PAGE 2-A

RN 915142-61-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[3-(dimethylamino)propyl]-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

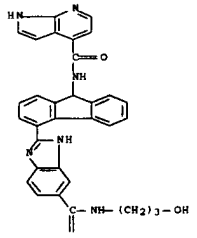
PAGE 1-A



PAGE 2-A

RN 915142-85-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(3-hydroxypropyl)-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

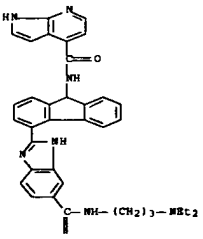
PAGE 1-A



PAGE 2-A

RN 915143-06-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[3-(diethylamino)propyl]-2-[9-[(1H-pyrrolo[2,3-b]pyridin-4-ylcarbonyl)amino]-9H-fluoren-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

8

L11 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1124396 CAPLUS Full-text
DN 145:454998
TI Preparation of substituted pyrrolopyridines as kinase inhibitors, and their compositions and use for treatment of cancer
IN Tabart, Michel; Bacque, Eric; Halley, Frank; Ronan, Baptiste; Desmazeau, Pascal; Viviani, Fabrice; Souaille, Catherine
PA Aventis Pharma SA, Fr.
SO Fr. Demande, 43pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2884821	A1	20061027	FR 2005-4173	20050426
	FR 2884821	B1	20070706		
	AU 2006239105	A1	20061102	AU 2006-239105	20060426
	WO 2006114520	A2	20061102	WO 2006-FR925	20060426
	WO 2006114520	A3	20070301		

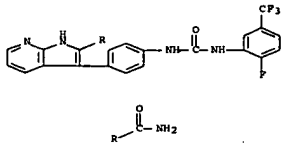
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI FR 2005-4173 A 20050426
WO 2006-FR925 W 20060426
OS MARPAT 145:454998
GI

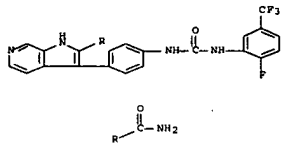
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A, Ar = (un)substituted independently hetero/aryl, heterocyclyl, cycloalkyl; L = a bond, CO, NH, CONH, NHCONH, NHSO2, NHCOO, etc.; one of W, Y, and Z = N, NO, and the others of W, Y, and Z = CH and derivs.; Ra = H, cyclo/alkyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a multi-step synthesis starting from 4-methyl-3-nitropyridine and di-Et oxalate was given for azaindole II. Pyrrolopyridine II inhibited FAK, KDR, Tie2, Aurora A and Aurora B kinases with an IC50 of 164 nM, 29 nM, 4 nM, 172 nM, and 138 nM, resp. Thus, I and their pharmaceutical compns., are useful as anticancer agents (no data).

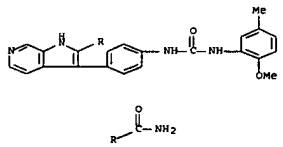
IT 913181-54-3P, 3-[4-[3-(2-Fluoro-5-trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrrolopyridines as FAK, KDR, Tie2, Aurora A, and Aurora B inhibitors and their use for treating cancer)
RN 913181-64-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



IT 913181-54-1P, 3-[4-[3-(2-Fluoro-5-trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 913181-55-2P, 3-[4-[3-(2-Methoxy-5-methylphenyl)ureido]phenyl]-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 913181-57-4P 913181-59-6P 913181-61-0P 913181-63-2P 913181-65-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridines as FAK, KDR, Tie2, Aurora A, and Aurora B inhibitors and their use for treating cancer)
RN 913181-54-1 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 913181-55-2 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[[2-methoxy-5-methylphenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 913181-57-4 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[(3-chlorophenyl)amino]carbonyl]amino]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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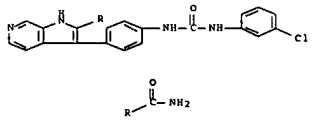
CRN 913181-56-3
CMF C21 H16 Cl N5 O2



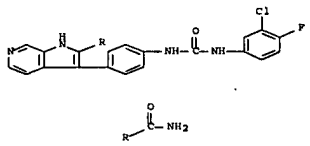
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 913181-59-6 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[(3-chloro-4-fluorophenyl)amino]carbonyl]amino]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 913181-58-5
CMF C21 H15 Cl F N5 O2



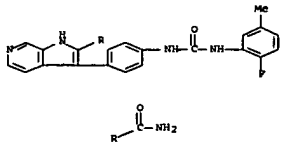
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 913181-61-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[(2-fluoro-5-methylphenyl)amino]carbonyl]amino]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 913181-60-9
CMF C22 H18 F N5 O2



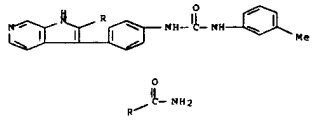
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 913181-63-2 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[4-[[[(3-methylphenyl)amino]carbonyl]amino]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

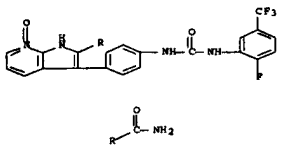
CRN 913181-62-1
CMF C22 H19 N5 O2



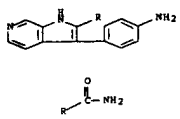
CM 2

CRN 76-05-1
CMF C2 H F3 O2

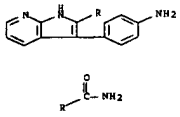
RN 913181-65-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-, 7-oxide (CA INDEX NAME)



IT 913181-66-5P, 3-(4-Aminophenyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 913181-69-8P, 3-(4-Aminophenyl)-1H-pyrrolo[2,3-b]pyridine-2-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolopyridines as FAK, KDR, Tie2, Aurora A, and Aurora B inhibitors and their use for treating cancer)
RN 913181-66-5 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-(4-aminophenyl)- (CA INDEX NAME)



RN 913181-69-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 3-(4-aminophenyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:578103 CAPLUS Full-text
DN 145:62867
TI Preparation of substituted aza/indoles as kinase inhibitors, and their compositions and use for treatment of angiogenesis-related diseases, especially cancer
IN Halley, Frank; Souaille, Catherine; Tabart, Michel; Bacque, Eric; Viviani, Fabrice; Ronan, Baptiste; Letallec, Jean-Philippe; Piloche-Romme, Bruno
PA Aventis Pharma S.A., Fr.
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006061493	A1	20060615	WO 2005-FR3003	20051202
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	FR 2878849	A1	20060609	FR 2004-12966	20041206
	AU 2005313253	A1	20060615	AU 2005-313253	20051202
	CA 2586991	A1	20060615	CA 2005-2586991	20051202
	EP 1841762	A1	20071010	EP 2005-824445	20051202
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	IN 2007KN01870	A	20070810	IN 2007-KN1870	20070524
	US 2007259910	A1	20071108	US 2007-757613	20070604
	NO 2007003015	A	20070828	NO 2007-3015	20070613
	KR 2007100277	A	20071010	KR 2007-715482	20070705
PRAI	FR 2004-12966	A	20041206		
	US 2005-650465P	P	20050207		
	WO 2005-FR3003	W	20051202		

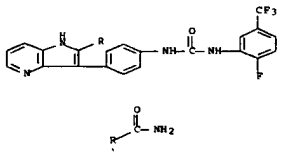
OS MARPAT 145:62867
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A, Ar = independently (un)substituted hetero/aryl; R1 = H, (un)substituted alkyl; X = N, CH and derivs.; L = a bond, CO, NH, CONH, NHCO, SONH, NHSO2, NHCONH, NHCSNH, etc.; R5-R7 = independently H, halo, CF3, NO2, CN, alkoxy, alkyl, CO2H and derivs., etc.; Q = H, Me, cyclopropyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a 7-step synthesis starting from 2-methyl-3-nitropyridine and Et oxalate, was given for azaindole II. Pyrrolopyridine II inhibited KDR and Tie2 kinases

with an IC50 of 12 nM and 4 nM. Thus, I and their pharmaceutical compns. are useful for treating angiogenesis-related diseases such as cancers, psoriasis, rheumatoid arthritis, diabetic retinopathy, etc.

IT 889658-84-8P, 3-[4-[3-(2-Fluoro-5-trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aza/indoles as kinase inhibitors for treating angiogenesis-related diseases)
RN 889658-84-8 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



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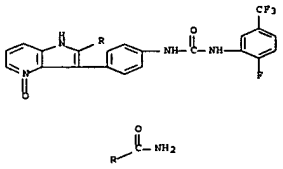
trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-58-2P, 3-[4-[3-(4-Methyl-3-trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-59-3P, 3-[4-[3-(4-Trifluoromethoxyphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-60-6P, 3-[4-[3-[4-(Difluoromethoxy)phenyl]ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-61-7P, 3-[4-[3-(3,4-Dimethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-62-8P, 3-[4-[3-(3,4-Dimethoxyphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-63-9P, 3-[4-[3-(3,5-Dimethoxyphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-64-0P, 3-[4-[3-(2,5-Dimethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-65-1P, 3-[4-[3-(2-Methoxy-5-methylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-66-2P, 3-[4-[3-(2,5-Dimethoxyphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-67-3P, 3-[4-[3-[3-Chloro-4-(difluoromethoxy)phenyl]ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-68-4P, 3-[4-[3-(3,5-Dimethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aza/indoles as kinase inhibitors for treating angiogenesis-related diseases)

RN 890434-88-5 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-, 4-oxide (CA INDEX NAME)



RN 890434-89-6 CAPLUS
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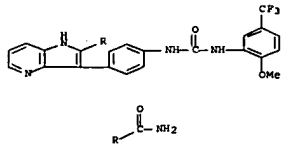

RN 890435-41-3 CAPLUS
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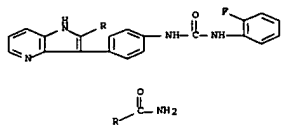

RN 890435-42-4 CAPLUS
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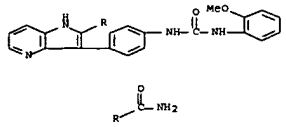

RN 890435-43-5 CAPLUS
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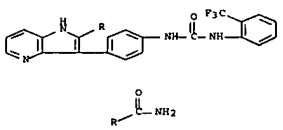

RN 890435-44-6 CAPLUS
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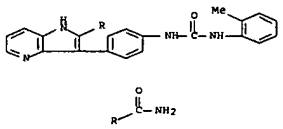

RN 890435-45-7 CAPLUS
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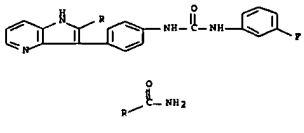

RN 890435-46-8 CAPLUS
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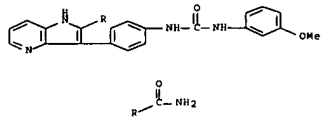

RN 890435-47-9 CAPLUS
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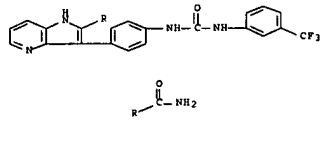

RN 890435-48-0 CAPLUS
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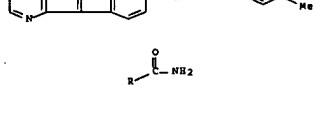
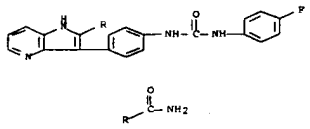
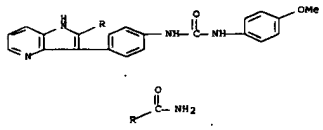


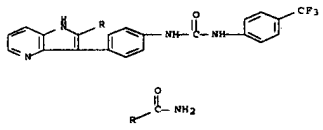
RN 890435-49-1 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(4-fluorophenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



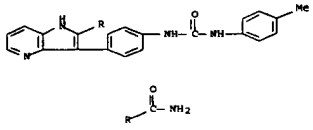
RN 890435-50-4 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[(4-methoxyphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



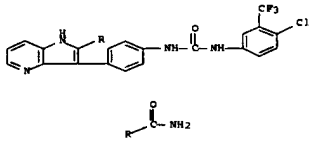
RN 890435-51-5 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[(4-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



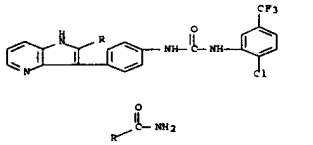
RN 890435-52-6 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[(4-methylphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



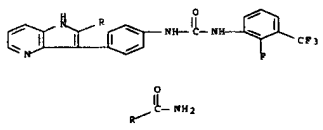
RN 890435-53-7 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



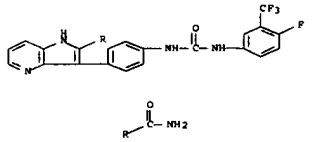
RN 890435-54-8 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-chloro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



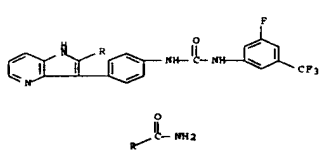
RN 890435-55-9 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



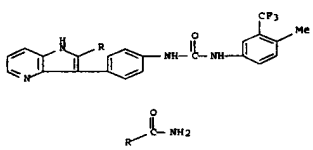
RN 890435-56-0 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



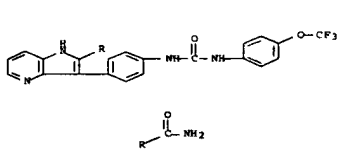
RN 890435-57-1 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[3-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



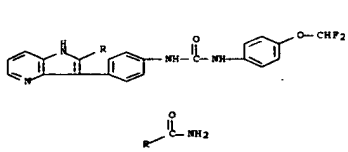
RN 890435-58-2 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-methyl-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



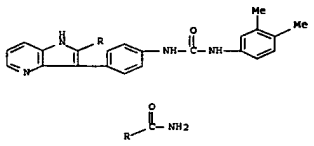
RN 890435-59-3 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



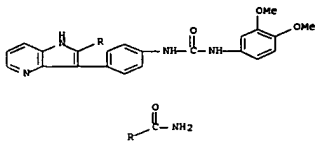
RN 890435-60-6 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-(difluoromethoxy)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



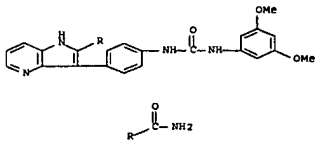
RN 890435-61-7 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(3,4-dimethylphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



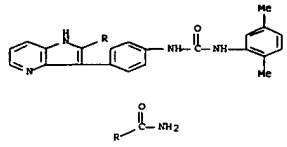
RN 890435-62-8 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(3,4-dimethoxyphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



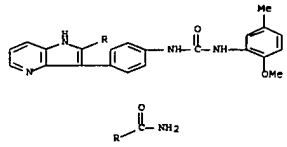
RN 890435-63-9 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(3,5-dimethoxyphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



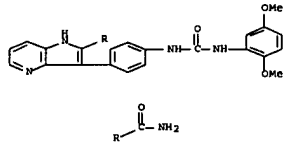
RN 890435-64-0 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(2,5-dimethylphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



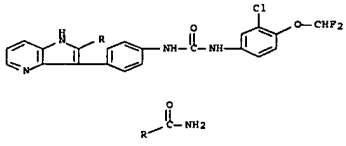
RN 890435-65-1 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(2-methoxy-5-methylphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



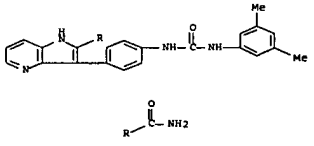
RN 890435-66-2 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(2,5-dimethoxyphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



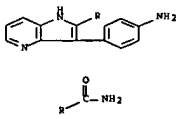
RN 890435-67-3 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[3-chloro-4-(difluoromethoxy)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



RN 890435-68-4 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[(3,5-dimethylphenyl)amino]carbonyl]amino]phenyl]- (CA INDEX NAME)



IT 890434-90-9, 3-(4-Aminophenyl)-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aza/indoles as kinase inhibitors for treating angiogenesis-related diseases)
RN 890434-90-9 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-(4-aminophenyl)- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:542506 CAPLUS Full-text
DN 145:27851
TI Preparation of substituted indoles as kinase inhibitors, and their compositions and use for treatment of cancer
IN Halley, Frank; Souaille, Catherine; Tabart, Michel; Bacque, Eric; Viviani, Fabrice; Ronan, Baptiste; Letallec, Jean Philippe
PA Aventis Pharma SA, Fr.
SO Fr. Demande, 50 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

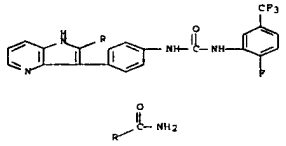
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2878849	A1	20060609	FR 2004-12966	20041206
	AU 2005313253	A1	20060615	AU 2005-313253	20051202
	CA 2586991	A1	20060615	CA 2005-2586991	20051202
	WO 2006061493	A1	20060615	WO 2005-FR3003	20051202
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1841762	A1	20071010	EP 2005-824445	20051202
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	IN 2007KN01870	A	20070810	IN 2007-KN1870	20070524
	US 2007259910	A1	20071108	US 2007-757613	20070604
	NO 2007003015	A	20070828	NO 2007-3015	20070613
	KR 2007100277	A	20071010	KR 2007-715482	20070705
PRAI	FR 2004-12966	A	20041206		
	US 2005-650465P	P	20050207		
	WO 2005-FR3003	W	20051202		

OS MARPAT 145:27851
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A, Ar = independently (un)substituted hetero/aryl; R1 = H, (un)substituted alkyl; X = N, CH and derivs.; L = a bond, CO, NH, CONH, NHCO, SONH, NHSO2, NHCONH, NHCSNH, etc.; R5-R7 = independently H, halo, CF3, NO2, CN, alkoxy, alkyl, CO2H and derivs., etc.; Q = H, Me, cyclopropyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a 5-step synthesis starting from Et indole-2-carboxylate was given for indole II. Indole II inhibited KDR and Tie2 kinases with an IC50 of 4 nM and 43 nM. Thus, I and their pharmaceutical compns. are useful as antitumor agents (no data).
IT 889658-94-9P, 3-[4-[3-(2-Fluoro-5-trifluoromethylphenyl)ureido]phe

nyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indoles as kinase inhibitors for treating cancer)
RN 889658-84-8 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (CA INDEX NAME)

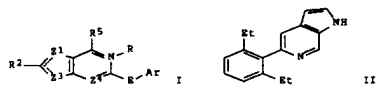


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

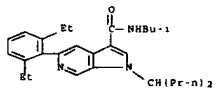
L11 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:366881 CAPLUS Full-text
DN 144:412478
TI Preparation of pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compounds as ligands of C5a receptors
IN Yuan, Jun; Hrnciar, Peter; Guo, Qin; Maynard, George D.
PA Neurogen Corporation, USA
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006042102	A2	20060420	WO 2005-US36126	20051005
WO 2006042102	A3	20070222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2004-616311P	P	20041005		

OS MARPAT 144:412478
GI



AB The title compds. I (E = a bond, O, SOm, NR6, CR6R7; R6, R7 = H, alkyl; m = 0-2; Ar = (un)substituted Ph, 1- and 2-naphthyl, heteroaryl; 5-membered ring containing Z1 and Z2 atoms contains exactly one heteroatom; Z1 = CR1 or NR11; Z3 = CR3, NR31; R1, R11 = alkyl, cycloalkyl, aryl, etc.; R2 = H, halo, OH, etc.; R3, R31 = alkyl, haloalkyl, alkoxy, etc.; Z4 = NR, CR4; R is absent or oxygen; R4, R5 = H, halo, OH, etc.) which are ligands of C5a receptors, were prepared and formulated. E.g., a 2-step synthesis of II, starting from 2-chloro-4-methyl-5-nitropyridine and 2,6- diethylphenylboronic acid, was given. Preferred pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds. of the invention (I) bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse agonist activity at C5a receptors. The present invention also relates to pharmaceutical compns. comprising such compds. I, and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds., which are useful as probes for the localization of C5a receptors.
IT 884321-81-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds. that are ligands of C5a receptors useful in treatment of inflammatory, cardiovascular, and immune system disorders)
RN 884321-81-7 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-3-carboxamide, 5-(2,6-diethylphenyl)-N-(2-methylpropyl)-1-(1-propylbutyl)- (CA INDEX NAME)



L11 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:333331 CAPLUS Full-text
DN 144:345885
TI Crystal structure of human phosphodiesterase 4B and molecular modeling and

activity of inhibitors
IN Ibrahim, Prabham L.; Bremer, Ryan E.; Gillette, Samuel J.; Cho, Hanna; Nespi, Marika; Mamo, Shumeye; Zhang, Chao; Artis, Dean R.; Lee, Byunghun; Zuckerman, Rebecca L.
PA Plexxikon, Inc., USA
SO PCT Int. Appl., 429 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006026754	A2	20060309	WO 2005-US31322	20050902
WO 2006026754	A9	20060420		
WO 2006026754	A3	20070111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005279795	A1	20060309	AU 2005-279795	20050902
CA 2583428	A1	20060309	CA 2005-2583428	20050902
US 2006100218	A1	20060511	US 2005-219635	20050902
EP 1786813	A2	20070523	EP 2005-816059	20050902
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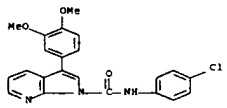
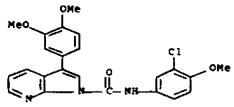
CN 101048407	A	20071003	CN 2005-80036980	20050902
PRAI US 2004-607407P	P	20040903		
WO 2005-US31322	W	20050902		

OS MARPAT 144:345885
AB Chemical synthesis and bioactivities of compds. are described that are active on phosphodiesterases 4B and 4D (PDE4B and PDE4D). Atomic coordinates are also provided for the crystal structure of the catalytic domain of human PDE4B (residues 152-528) determined using x-ray crystallog. of unliganded protein crystals and of cocrystals of PDE4B with 4-(3,4-dimethoxyphenyl)- 1H-pyrrolo[2,3-b]pyridine. Also described are the use of PDE4B crystals and structural information for identifying mol. scaffolds, for developing ligands that bind to and modulate PDE4B, and for identifying improved ligands based on known ligands.
IT 880770-13-9P 880770-14-9P 880770-15-0P 880770-16-1P 880770-17-2P 880770-18-3P 880770-19-4P 880770-20-7P 880770-21-8P 880770-22-9P 880770-23-0P 880770-24-1P 880770-25-2P 880770-26-3P 880770-27-4P 880770-28-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure of human phosphodiesterase 4B and mol. modeling and activity of inhibitors)
RN 880770-13-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(4-chlorophenyl)-3-(3,4-

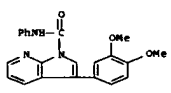
dimethoxyphenyl)- (CA INDEX NAME)



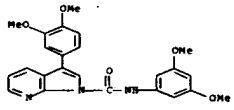
RN 880770-14-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(3-chloro-4-methoxyphenyl)-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



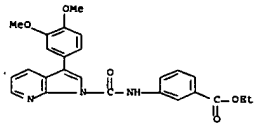
RN 880770-15-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-phenyl- (CA INDEX NAME)



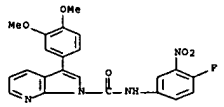
RN 880770-16-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(3,5-dimethoxyphenyl)- (CA INDEX NAME)



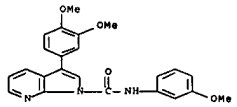
RN 880770-17-2 CAPLUS
CN Benzoic acid, 3-[[[3-(3,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-1-yl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



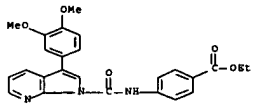
RN 880770-18-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(4-fluoro-3-nitrophenyl)- (CA INDEX NAME)



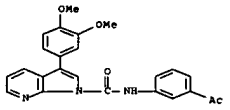
RN 880770-19-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(3-methoxyphenyl)- (CA INDEX NAME)



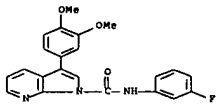
RN 880770-20-7 CAPLUS
CN Benzoic acid, 4-[[[3-(3,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-1-yl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



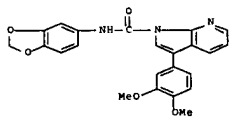
RN 880770-21-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(3-acetylphenyl)-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



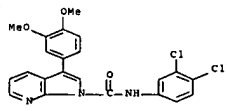
RN 880770-22-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(3-fluorophenyl)- (CA INDEX NAME)



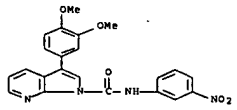
RN 880770-23-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-1,3-benzodioxol-5-yl-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



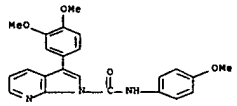
RN 880770-24-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(3,4-dichlorophenyl)-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



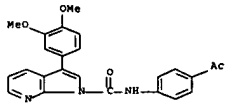
RN 880770-25-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(3-nitrophenyl)- (CA INDEX NAME)



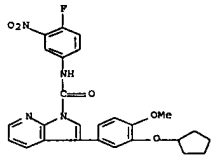
RN 880770-26-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-(3,4-dimethoxyphenyl)-N-(4-methoxyphenyl)- (CA INDEX NAME)



RN 880770-27-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(4-acetylphenyl)-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



RN 880770-94-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, 3-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(4-fluoro-3-nitrophenyl)- (CA INDEX NAME)



L11 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:117134 CAPLUS Full-text
DN 144:212773
TI Preparation of arylazolopyridines as p38 kinase inhibitors.
IN Almansa Rosales, Carmen; Virgili Bernado, Marina; Grima Poveda, Pedro, Manuel
PA J. Uriach y Compania S. A., Spain
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006013095	A2	20060209	WO 2005-EP8371	20050802
	WO 2006013095	A3	20060713		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM

AU 2005268845	A1	20060209	AU 2005-268845		20050802
CA 2575100	A1	20060209	CA 2005-2575100		20050802
CN 1993360	A	20070704	CN 2005-80026101		20050802
EP 1833828	A2	20070919	EP 2005-772606		20050802
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KR 2007045227	A	20070502	KR 2007-702789		20070202
IN 2007CN00509	A	20070824	IN 2007-CN509		20070205
NO 2007000731	A	20070219	NO 2007-731		20070207
PRAI ES 2004-1971	A	20040803			
WO 2005-EP8371	W	20050802			

OS CASREACT 144:212773; MARPAT 144:212773

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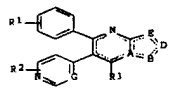


I

AB Title compds. [I; A, G = C, N; B, D, E = CR4, NR5, N, O, S; R1 = H, Ra, halo, cyano, OH, ORa; R2 = H, halo, alkyl, etc.; R3 = H, (substituted) alkyl, (hetero)cyclyl; with provisos], were prepared Thus, 1-(4-fluorophenyl)-2-(4-pyridyl)ethanone (preparation given), 4-fluorobenzaldehyde, Me 4-aminothiophene-3-carboxylate, and HCl were refluxed overnight in 2-methoxyethanol to give 83% Me 5,7-bis(4- fluorophenyl)-6-(4-pyridyl)thieno[3,2-b]pyridine-3-carboxylate. The latter and addnl. I inhibited p38 by more than 50% at 1 μM.

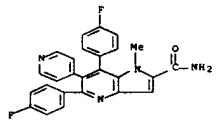
IT [illegible]

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of arylazolopyridines as p38 kinase inhibitors)

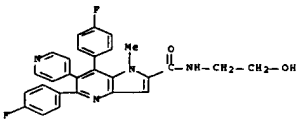
RN 875757-28-1 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-1-methyl-6-(4-pyridinyl)- (CA INDEX NAME)



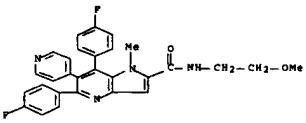
RN 875757-29-2 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-N-(2-hydroxyethyl)-1-methyl-6-(4-pyridinyl)- (CA INDEX NAME)



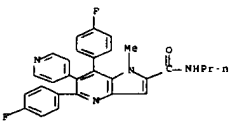
RN 875757-44-1 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-N-(2-methoxyethyl)-1-methyl-6-(4-pyridinyl)- (CA INDEX NAME)



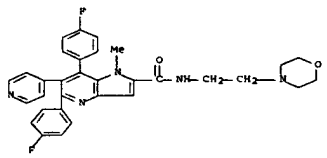
RN 875757-45-2 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-1-methyl-N-propyl-6-(4-pyridinyl)- (CA INDEX NAME)



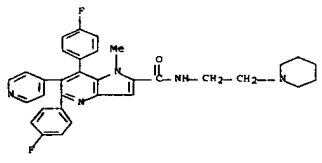
RN 875757-46-3 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-1-methyl-N-[2-(4-morpholinyl)ethyl]-6-(4-pyridinyl)- (CA INDEX NAME)



RN 875757-47-4 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5,7-bis(4-fluorophenyl)-1-methyl-N-[2-(1-piperidinyl)ethyl]-6-(4-pyridinyl)- (CA INDEX NAME)



L11 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:11355 CAPLUS Full-text

DN 144:108300

TI 5-Aryl-1H-pyrrolo[2,3-b]pyridine-3-carboxylate derivatives as glycogen synthase kinase-3 inhibitors, their preparation, pharmaceutical compositions, and use in therapy

IN Berg, Stefan; Hedstroem, Johan; Hellberg, Sven; Soederman, Peter

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006001754	A1	20060105	WO 2005-SE955	20050620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,				

ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1761530 *	A1	20070314	EP 2005-754098	20050620
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CN 1972943	A	20070530	CN 2005-80021231	20050620
IN 2006DN07400	A	20070615	IN 2006-DN7400	20061207
PRAI SE 2004-1655	A	20040624		
WO 2005-SE955	W	20050620		

OS CASREACT 144:108300; MARPAT 144:108300

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrrolopyridines of formula I, which are inhibitors of glycogen synthase kinase-3 (GSK3). In compds. I, A is Ph or 5- or 6-membered heteroaryl ring containing one or more heteroatoms selected from N, O, and S, where A may optionally be fused with a 5- or 6-membered ring containing one or more atoms selected from C, N, O, and S; X is N or O, and if X is O, then Y and R4 are absent; Y is absent or selected from C1-6 alkyl, C2-6 alkenyl, and C2-6 alkynyl; R1 is C1-6 alkyl-C3-6 cycloalkyl, alkoxy, alkylthio, (di)alkylamino, etc.; each R2 is independently selected from H, halo, cyano, nitro, C1-6 alkyl, alkoxy, trifluoromethyl, etc.; R3 is selected from H, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl, and (un)substituted C0-6 alkyl-C3-6 cycloalkyl; R4 is absent or selected from H, halo, nitro, cyano, CHO, cyano-C1-6 alkoxy, alkoxy, alkoxy-C1-6 alkoxy, trifluoromethyl, etc.; R5 is selected from H, OH, NH2, CO2H, CONH2, halo, cyano, nitro, acetyl, C1-6 alkyl, C1-6 alkoxy, trifluoromethyl, etc.; and n is 1 or 2. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I as active ingredient with pharmaceutically acceptable excipients, carriers, or diluents, as well as to the use of the compns. in the prevention and/or treatment of conditions associated with glycogen synthase kinase-3 activity. Carboxylation of 5-bromopyrrolo[2,3-b]pyridine and Suzuki coupling with boronic acid II (prepared in situ from 1-[(4-bromophenyl)sulfonyl]-4-methylpiperazine) gave pyrrolopyridinecarboxylate III (R6 = MeO), which underwent amidation with 3-methoxypropylamine to give pyrrolopyridinecarboxamide III (R6 = MeOCH2CH2CH2NH). The most preferred compds. of the invention express Ki values in the range of about 0.001 nM to about 300 nM in a GSK3β scintillation proximity assay.

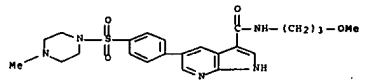
IT 872619-96-0P 872620-22-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyrrolopyridinecarboxylate derivs. as glycogen synthase kinase-3 inhibitors)

RN 872619-96-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]- (CA INDEX NAME)



RN 872620-39-8 CAPLUS
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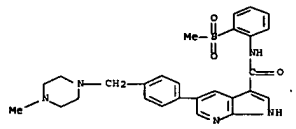

IT 872619-93-7P 872620-00-3P 872620-03-6P
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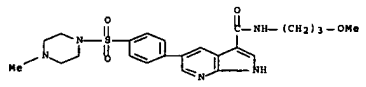
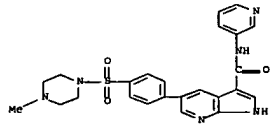
872620-35-4P 872620-42-3P 872620-45-6P
872620-50-1P 872620-55-8P 872620-60-5P
872620-64-9P 872620-68-3P 872620-72-9P
872620-75-2P 872620-80-9P 872620-94-3P
872621-01-7P 872621-12-0P 872621-16-4P
872621-20-0P, 5-[4-((Morpholin-4-yl)methyl)phenyl]-N-pentyl-1H-pyrrolo[2,3-b]pyridine-3-carboxamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridinecarboxylate derivs. as glycogen synthase kinase-3 inhibitors)

RN 872619-93-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



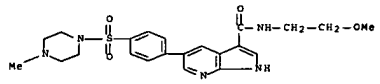
● HCl

RN 872620-00-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



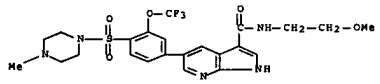
● HCl

RN 872620-03-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(2-methoxyethyl)-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



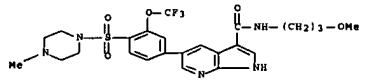
● HCl

RN 872620-07-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(2-methoxyethyl)-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]-3-(trifluoromethoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



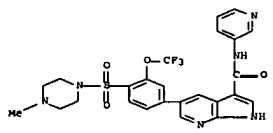
● HCl

RN 872620-10-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]-3-(trifluoromethoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



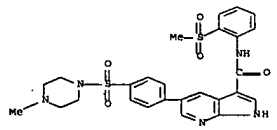
● HCl

RN 872620-15-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[(4-methyl-1-piperazinyl)sulfonyl]-3-(trifluoromethoxy)phenyl]-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



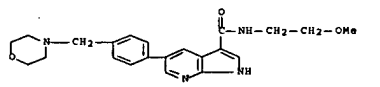
● HCl

RN 872620-20-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-N-[2-(methylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



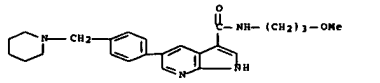
● HCl

RN 872620-25-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(2-methoxyethyl)-5-[4-(4-morpholinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



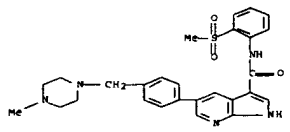
● HCl

RN 872620-30-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-(1-piperidinylmethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



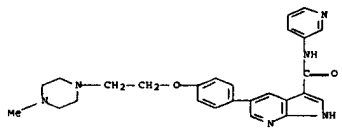
● HCl

RN 872620-35-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[2-(methylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



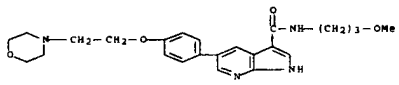
● HCl

RN 872620-42-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

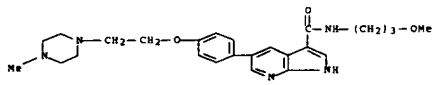
RN 872620-45-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-[2-(4-morpholinyl)ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

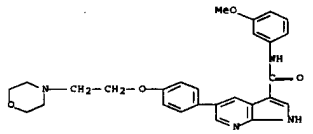
RN 872620-50-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxypropyl)-5-[4-[2-(4-methyl-1-piperazinyl]ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX

NAME)



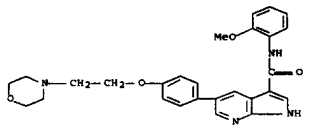
● HCl

RN 872620-55-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(3-methoxyphenyl)-5-[4-[2-(4-morpholinyl)ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

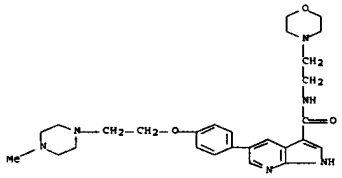
RN 872620-60-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(2-methoxyphenyl)-5-[4-[2-(4-morpholinyl)ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

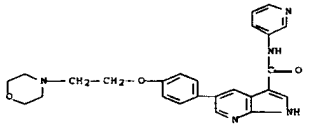
RN 872620-64-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[2-(4-methyl-1-piperazinyl]ethoxy]phenyl]-N-[2-(4-morpholinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



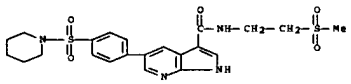
● HCl

RN 872620-68-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-[2-(4-morpholinyl)ethoxy]phenyl]-N-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



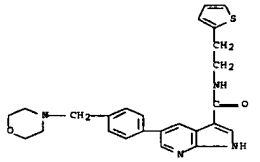
● HCl

RN 872620-72-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-[2-(methylsulfonyl)ethyl]-5-[4-(1-piperidinylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



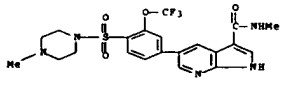
● HCl

RN 872620-75-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-(4-morpholinylmethyl)phenyl]-N-[2-(2-thienyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



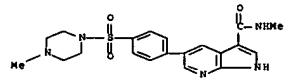
● HCl

RN 872620-80-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-methyl-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]-3-(trifluoromethoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



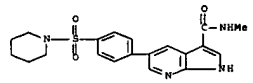
● HCl

RN 872620-84-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-methyl-5-[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



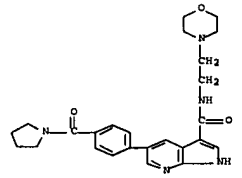
● HCl

RN 872621-01-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-methyl-5-[4-(1-piperidinylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 872621-12-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-[2-(4-morpholinyl)ethyl]-5-[4-(1-pyrrolidinylcarbonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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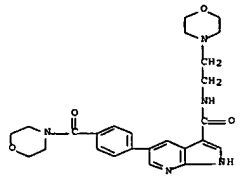
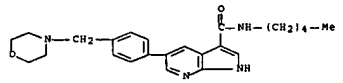
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-(4-morpholinylcarbonyl)phenyl]-N-[2-(4-morpholinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 872621-20-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 5-[4-(4-morpholinylmethyl)phenyl]-N-pentyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1240986 CAPLUS Full-text
DN 144:22906
TI Preparation of fused heterocycle kinase inhibitors for treatment of protein tyrosine kinase-related diseases
IN Cusack, Kevin; Salmeron-Garcia, Jose-Andres; Gordon, Thomas D.; Barberis, Claude E.; Allen, Hamish J.; Bischoff, Agniezka K.; Ericsson, Anna M.; Friedman, Michael M.; George, Dawn M.; Roth, Gregory P.; Talanian, Robert V.; Thomas, Christine; Wallace, Grier A.; Wishart, Neil; Yu, Zhengtian
PA Abbott Laboratories, USA
SO PCT Int. Appl., 362 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005110410	A2	20051124	WO 2005-US16903	20050513

	WO 2005110410	A3	20070329		
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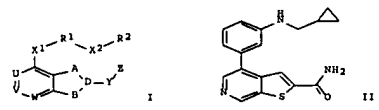
	US 2006074102	A1	20060406	US 2005-129624	20050513
	EP 1753428	A2	20070221	EP 2005-778736	20050513
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	JP 2007537296	T	20071220	JP 2007-513433	20050513
PRAI	US 2004-571281P	P	20040514		
	WO 2005-US16903	W	20050513		

OS MARPAT 144:22906
GI

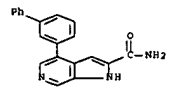


I II

AB The invention is related to the preparation of fused heterocycles of formula I [A, B = independently N, S, O, a bond, etc.; D = C, N, S, O, C:C; U, V, W = independently CH and derivs., N; Y = a bond, CONH2 and derivs., SO, etc.; Z = H, halo, CN, etc.; X1 = a bond, halo, O, SO, NHSO2, etc.; R1 = a bond, (un)substituted benzofuranyl, benzimidazolyl, pyrrolyl, etc.; when R1 is not a bond, then X2 = a bond, O,S, NHCO and derivs., aliphatic group, etc.; or when R1 = a bond, then X2 = a bond and R2 is not a bond; R2 = a bond or (un)substituted benzoxazolyl, Ph, etc.; with provisos; and with the exception of certain compds.], and their pharmaceutically acceptable salts as inhibitors of kinases, particularly COT or MK2 kinases. The invention is also related to the use of certain compds. I as inhibitors of angiogenic receptor tyrosine kinases. Thus, reacting 4-(3- aminophenyl)thieno[2,3-c]pyridine-2-carboxamide with cyclopropanecarboxaldehyde gave thienopyridine II. All compds. I significantly inhibit either COT or MK2 at concns. of 50 μM or below.

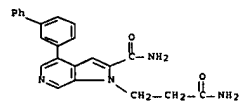
IT 870241-74-0P, 4-(Biphenyl-3-yl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(COT kinase inhibitor; preparation of fused heterocycles as kinase inhibitors)

RN 870241-74-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 4-[1,1'-biphenyl]-3-yl- (CA INDEX NAME)



IT 870244-40-9P, 4-(Biphenyl-3-yl)-1-(2-carbamoylethyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(COT kinase inhibitor; preparation of fused heterocycles as kinase inhibitors)

RN 870244-40-9 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-1-propanamide, 2-(aminocarbonyl)-4-[1,1'-biphenyl]-3-yl- (CA INDEX NAME)



L11 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1201080 CAPLUS Full-text
DN 143:460144
TI Preparation of indoles, 1H-indazoles, 1,2-benzisoxazoles, and 1,2-benzisothiazoles as α7 nicotinic acetylcholine receptor agonists
IN Xie, Wenge; Herbert, Brian; Ma, Jianguo; Nguyen, Truc Minh; Schumacher, Richard; Gauss, Carla Maria; Tehim, Ashok
PA USA
SO U.S. Pat. Appl. Publ., 107 pp., which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005250808	A1	20051110	US 2005-111958	20050422
	CA 2567977	A1	20060105	CA 2005-2567977	20050422
	WO 2006001894	A1	20060105	WO 2005-US13938	20050422
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1742944 A1 20070117 EP 2005-786344 20050422

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

CN 101044140 A 20070926 CN 2005-80020856 20050422

JP 2007534692 T 20071129 JP 2007-509696 20050422

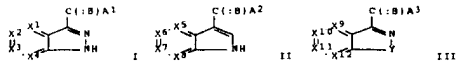
PRAI US 2004-564239P P 20040422

US 2004-619767P P 20041019

WO 2005-US13938 W 20050422

OS MARPAT 143:460144

GI



AB The present invention relates generally to the field of ligands for nicotinic acetylcholine receptors (nACh receptors), activation of nACh receptors (no data), and the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of the brain. Further, this invention relates to novel compds. (shown as I-III; variables defined below; e.g. N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1,2-benzisothiazole-3-carboxamide (IV)), which act as ligands for the α7 nACh receptor subtype, methods of preparing such compds., compns. containing such compds., and methods of use thereof. Although the methods of preparation are not claimed, .apprx.190 example prepns. and/or characterization data are included. For example, IV was prepared in 20 % yield from benzisothiazole-3-carboxylic acid and 8-methyl-8-azabicyclo[3.2.1]octan-3- amine dihydrochloride in THF/DMF in the presence of N,N- diisopropylethylamine (HATU added after 30 min at room temperature). For I-III: X1 to X4 = CH, CR1, or N, wherein at most one of X1 to X4 is N; X5 to X8 = CH, CR2, or N, wherein at most one of X5 to X8 is N; X9 to X12 = CH, CR3, or N, wherein at most one of X9 to X12 is N; B is O, S, or H2; Y is O or S; A1, A2 and A3 = substituted amino; addnl. details are given in the claims.

IT 868987-29-5P, 5-(4-Fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide 868987-30-8P, 5-(4-Fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide monoformate 868987-34-2P, 6-(4-Fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide 868987-35-3P, 6-(4-Fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide monoformate

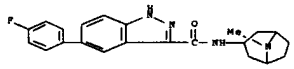
868987-41-1P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-(3-trifluoromethylphenyl)-1H-indazole-3-carboxamide 868987-42-2P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-(3-trifluoromethylphenyl)-1H-indazole-3-carboxamide monoformate 868987-43-3P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-(4-trifluoromethylphenyl)-1H-indazole-3-carboxamide 868987-44-4P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-(4-trifluoromethylphenyl)-1H-indazole-3-carboxamide monoformate 868987-50-2P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-(3-trifluoromethylphenyl)-1H-indazole-3-carboxamide 868987-51-3P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-(3-trifluoromethylphenyl)-1H-indazole-3-carboxamide monoformate 868987-52-4P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-(4-trifluoromethylphenyl)-1H-indazole-3-carboxamide 868987-53-5P, N-(8-Methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-(4-trifluoromethylphenyl)-1H-indazole-3-carboxamide monoformate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indoles, 1H-indazoles, 1,2-benzisoxazoles, and 1,2-benzisothiazoles as α7 nicotinic acetylcholine receptor agonists)

RN 868987-29-5 CAPLUS

CN 1H-Indazole-3-carboxamide, 5-(4-fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)- (CA INDEX NAME)



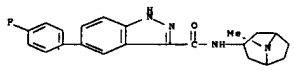
RN 868987-30-8 CAPLUS

CN Formic acid, compd. with 5-(4-fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 868987-29-5

CMF C22 H23 F N4 O



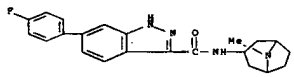
CM 2

CRN 64-18-6

CMF C H2 O2

RN 868987-34-2 CAPLUS

CN 1H-Indazole-3-carboxamide, 6-(4-fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)- (CA INDEX NAME)



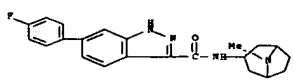
RN 868987-35-3 CAPLUS

CN Formic acid, compd. with 6-(4-fluorophenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 868987-34-2

CMF C22 H23 F N4 O



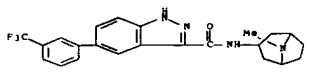
CM 2

CRN 64-18-6

CMF C H2 O2

RN 868987-41-1 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



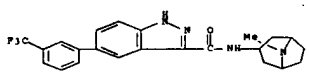
RN 868987-42-2 CAPLUS

CN Formic acid, compd. with N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-[3-(trifluoromethyl)phenyl]-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

CM 1

CRN 868987-41-1

CMF C23 H23 F3 N4 O



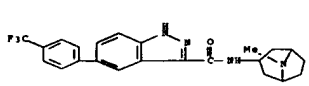
CM 2

CRN 64-18-6

CMF C H2 O2

RN 868987-43-3 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 868987-44-4 CAPLUS

CN Formic acid, compd. with N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-5-[4-(trifluoromethyl)phenyl]-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

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CRN 868987-43-3
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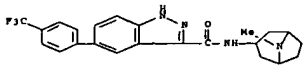
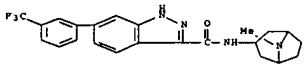


CM 2

CRN 64-18-6
CMF C H2 O2

RN 868987-50-2 CAPLUS
CN 1H-Indazole-3-carboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 868987-51-3 CAPLUS
CN Formic acid, compd. with N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-[3-(trifluoromethyl)phenyl]-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

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CRN 868987-50-2
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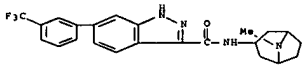
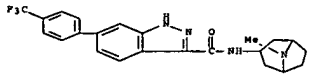


CM 2

CRN 64-18-6
CMF C H2 O2

RN 868987-52-4 CAPLUS
CN 1H-Indazole-3-carboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 868987-53-5 CAPLUS
CN Formic acid, compd. with N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-6-[4-(trifluoromethyl)phenyl]-1H-indazole-3-carboxamide (1:1) (CA INDEX NAME)

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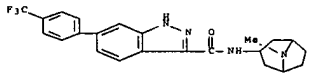

CM 2

CRN 64-18-6
CMF C H2 O2

L11 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:996178 CAPLUS Full-text
DN 141:424170
TI Azaindole compounds as Janus kinase 3 (JAK3 kinase) inhibitors, and their preparation, intermediates, and pharmaceutical compositions
IN David, Laurent; Hansen, Peter
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004099205	A1	20041118	WO 2004-SE696	20040506
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004236146	A1	20041118	AU 2004-236146	20040506
CA 2523922	A1	20041118	CA 2004-2523922	20040506
EP 1625127	A1	20060215	EP 2004-731527	20040506
EP 1625127	B1	20070523		
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CN 1784403	A	20060607	CN 2004-80012626	20040506
JP 2006525998	T	20061116	JP 2006-508046	20040506
AT 362932	T	20070615	AT 2004-731527	20040506
ES 2286634	T3	20071201	ES 2004-4731527	20040506
IN 2005DN04779	A	20071207	IN 2005-DN4779	20051019
MX 2005PA12026	A	20060203	MX 2005-PA12026	20051108
US 2006287354	A1	20061221	US 2005-556227	20051109
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WO 2004-SE696	W	20040506		

OS MARPAT 141:424170
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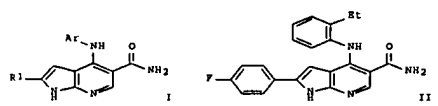


AB The invention relates to novel azaindole compds. I, which are kinase inhibitors, specifically of Janus kinase 3, also known as JAK3 kinase. The

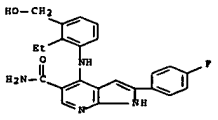
invention also relates to methods and intermediates for preparation of I, and pharmaceutical compns. comprising I. In compds. I, Ar is Ph which can be optionally substituted by one or more groups selected from halo, OH, cyano, C1-C8 alkyl (itself optionally substituted by one or more OH or cyano groups or F atoms), CH2R2, CH2O(CH2)nO(C1-6-alkyl), or (C1-C8-alkyl)NR3R4; R2 is a 5- to 7-membered saturated ring containing 1 or 2 N/O/S heteroatoms, an aryl or a 5- to 7-membered heteroaryl containing 1-3 N/O/S heteroatoms, all of these being optionally substituted by one or more OH or CH2OH groups; R3 is H or C1-6 alkyl; and R4 is C1-6 alkyl optionally substituted by one or more groups OH or Ph; n is 1-4; R1 is H or Ph optionally substituted by halo, C1-C8 alkoxy, C1-C8 thioalkyl, or C1-C8 alkyl; and pharmaceutically acceptable salts thereof. Nineteen compds. I were prepared, some as trifluoroacetate salts, and these same compds. are all claimed individually as the free bases. For instance, 6-amino-4-methoxynicotinic acid Me ester was subjected to a sequence of: (1) electrophilic iodination in the 5-position, (2) alkyne coupling of the iodide with HC.tplbond.CC6H4F-4, (3) base-catalyzed cyclization of the alkyne adduct to give a pyrrolopyridine ring, (4) acidic saponification of the ester and demethylation of the methoxy group with HBr, (5) chlorination of the resultant hydroxy group and acid using POCl3, with ammonolysis of the acid chloride, and (6) amination of the ring chloride with 2-ethylaniline, to give invention compound II. In a JAK3 HTRF assay, the example compds. had IC50 values less than 25 μM.

IT 796032-56-9P, 4-[[2-Ethyl-3-(hydroxymethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of azaindole derivs. as JAK3 kinase inhibitors)

RN 796032-56-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-55-8
CMF C23 H21 F N4 O2



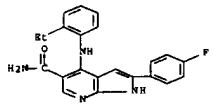
CM 2

CRN 76-05-1
CMF C2 H F3 O2

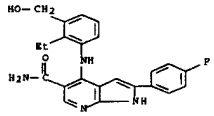
IT 796032-54-7P, 4-[(2-Ethylphenyl)amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-55-8P, 4-[[2-Ethyl-3-(hydroxymethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-57-0P, 4-[[2-Ethyl-3-[[(2-hydroxyethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-58-1P, 4-[[2-Ethyl-3-[[(2-hydroxyethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-60-5P, 4-[[2-Ethyl-3-[[(2-hydroxyethyl)(methyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-61-6P, 4-[[2-Ethyl-3-[[(2-hydroxy-1-methylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-62-7P, 4-[[2-Ethyl-3-[[(2-hydroxy-1-methylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-63-8P, 4-[[2-Ethyl-3-[[((S)-2-hydroxy-1-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-64-9P, 4-[[2-Ethyl-3-[[((S)-2-hydroxy-1-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-65-0P, 4-[[2-Ethyl-3-[[(2-hydroxy-2-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-66-1P, 4-[[2-Ethyl-3-[[(2-hydroxy-2-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-67-2P, 4-[[2-Ethyl-3-(morpholin-4-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-68-3P, 4-[[2-Ethyl-3-(morpholin-4-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-69-4P, 4-[[2-Ethyl-3-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-70-7P, 4-[[2-Ethyl-3-[(3-hydroxypyrrolidin-1-yl)methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-71-8P, 4-[[2-Ethyl-3-[[(R)-2-(hydroxymethyl)pyrrolidin-1-yl]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-72-9P, 4-[[2-Ethyl-3-[[(R)-2-(hydroxymethyl)pyrrolidin-1-yl]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-73-0P, 4-[[3-[[(2,3-Dihydroxypropyl)amino]methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-74-1P, 4-[[3-[[(2,3-Dihydroxypropyl)amino]methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-75-2P, 4-[[2-Ethyl-3-(imidazol-1-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-76-3P, 4-[[2-Ethyl-3-(imidazol-1-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-77-4P, 4-[[3-[(2-Ethoxyethoxy)methyl]-2-ethylphenyl]amino]-

2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-78-5P, 2-(4-Bromophenyl)-4-[(2-ethylphenyl)amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-79-6P, 4-[(2-Ethylphenyl)amino]-2-phenyl-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-80-9P, 4-[[2-Ethyl-3-(hydroxymethyl)phenyl]amino]-2-phenyl-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-81-0P, 4-[[2-Ethyl-3-(hydroxymethyl)phenyl]amino]-2-phenyl-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-82-1P, 2-(4-Chlorophenyl)-4-[(2-ethyl-3-(hydroxymethyl)phenyl]amino)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-83-2P, 2-(4-Chlorophenyl)-4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-84-3P, 2-(4-Chlorophenyl)-4-[[2-ethyl-3-[(imidazol-1-yl)methyl]phenyl}amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-85-4P, 2-(4-Chlorophenyl)-4-[[2-ethyl-3-[(imidazol-1-yl)methyl]phenyl]amino]-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-87-6P, 4-[[2-Ethyl-3-[[(2-hydroxyethyl)methylamino]methyl]phenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide 796032-93-4P, 4-[(2-Ethylphenyl)amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate 796032-94-5P, 4-[[3-((2-Ethoxyethoxy)methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of azaindole derivs. as JAK3 kinase inhibitors)

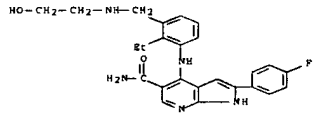
RN 796032-54-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[(2-ethylphenyl)amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-55-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



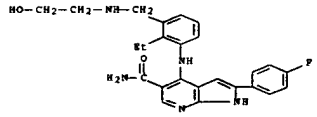
RN 796032-57-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxyethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-58-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxyethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-57-0
CMF C25 H26 F N5 O2



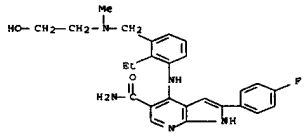
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 796032-60-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxyethyl)methylamino]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

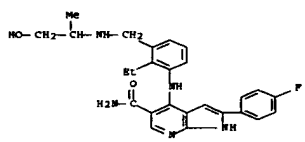
CRN 796032-59-2
CMF C26 H28 F N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

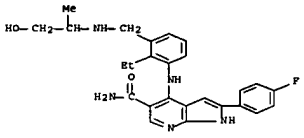
RN 796032-61-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxy-1-methylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-62-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxy-1-methylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-61-6
CMF C26 H28 F N5 O2

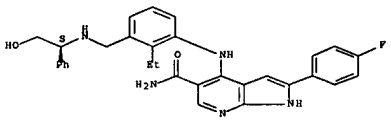


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 796032-63-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[[(1S)-2-hydroxy-1-phenylethyl]amino]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

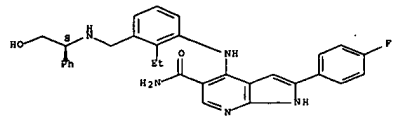


RN 796032-64-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[[(1S)-2-hydroxy-1-phenylethyl]amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-63-8
CMF C31 H30 F N5 O2

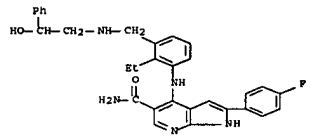
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

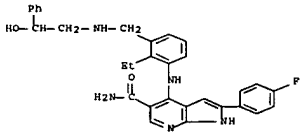
RN 796032-65-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxy-2-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-66-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2-hydroxy-2-phenylethyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

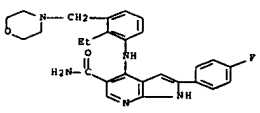
CRN 796032-65-0
CMF C31 H30 F N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

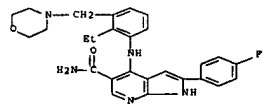
RN 796032-67-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(4-morpholinylmethyl)phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-68-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(4-morpholinylmethyl)phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

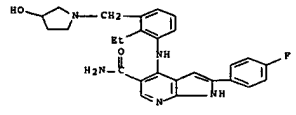
CRN 796032-67-2
CMF C27 H28 F N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

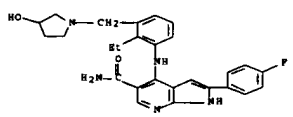
RN 796032-69-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[(3-hydroxy-1-pyrrolidinyl)methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-70-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[(3-hydroxy-1-pyrrolidinyl)methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-69-4
CMF C27 H28 F N5 O2

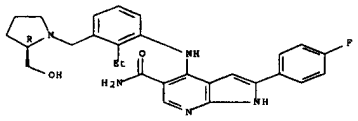


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 796032-71-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

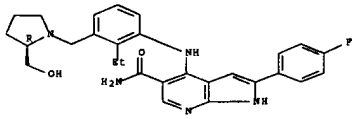


RN 796032-72-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]methyl]phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-71-8
CMF C28 H30 F N5 O2

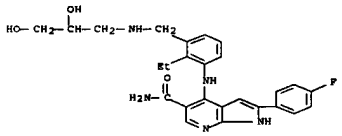
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

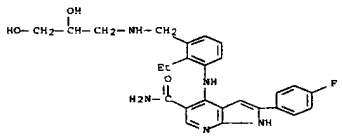
RN 796032-73-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[3-[[(2,3-dihydroxypropyl)amino]methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-74-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[3-[[(2,3-dihydroxypropyl)amino]methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

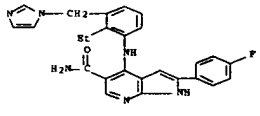
CRN 796032-73-0
CMF C26 H28 F N5 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

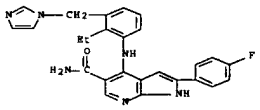
RN 796032-75-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-76-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

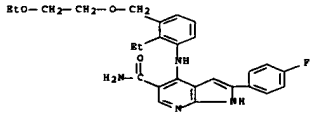
CRN 796032-75-2
CMF C26 H23 F N6 O



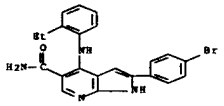
CM 2

CRN 76-05-1
CMF C2 H F3 O2

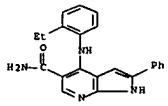
RN 796032-77-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[3-[(2-ethoxyethoxy)methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



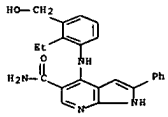
RN 796032-78-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-bromophenyl)-4-[(2-ethylphenyl)amino]- (CA INDEX NAME)



RN 796032-79-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[(2-ethylphenyl)amino]-2-phenyl- (CA INDEX NAME)



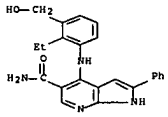
RN 796032-80-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-2-phenyl- (CA INDEX NAME)



RN 796032-81-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-2-phenyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

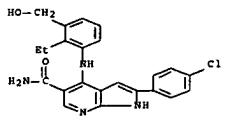
CRN 796032-80-9
CMF C23 H22 N4 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

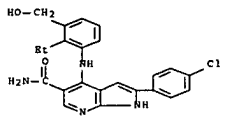
RN 796032-82-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-chlorophenyl)-4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]- (CA INDEX NAME)



RN 796032-83-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-chlorophenyl)-4-[[2-ethyl-3-(hydroxymethyl)phenyl]amino]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 796032-82-1
CMF C23 H21 Cl N4 O2

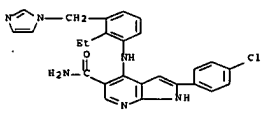


CM 2

CRN 76-05-1

CMF C2 H F3 O2

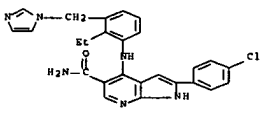
RN 796032-84-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-chlorophenyl)-4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]- (CA INDEX NAME)



RN 796032-85-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-(4-chlorophenyl)-4-[[2-ethyl-3-(1H-imidazol-1-ylmethyl)phenyl]amino]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

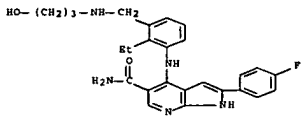
CRN 796032-84-3
CMF C26 H23 Cl N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

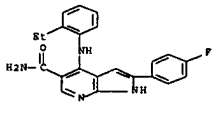
RN 796032-87-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[2-ethyl-3-[[(3-hydroxypropyl)amino]methyl]phenyl]amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 796032-93-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[(2-ethylphenyl)amino]-2-(4-fluorophenyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 796032-54-7
CMF C22 H19 F N4 O



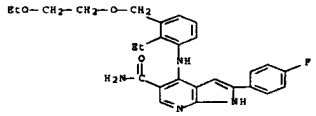
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 796032-94-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[3-[(2-ethoxyethoxy)methyl]-2-ethylphenyl]amino]-2-(4-fluorophenyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

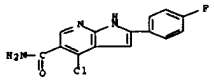
CRN 796032-77-4
CMF C27 H29 F N4 O3



CM 2

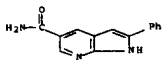
CRN 76-05-1
CMF C2 H F3 O2

IT [illegible] 4-Chloro-2-(4-fluorophenyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid amide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of azaindole derivs. as JAK3 kinase inhibitors)
RN 796032-92-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-chloro-2-(4-fluorophenyl)- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:114757 CAPLUS Full-text
DN 139:36405
TI Synthesis of polyfunctional indoles and related heterocycles mediated by cesium and potassium bases
AU Koradin, Christopher; Dohle, Wolfgang; Rodriguez, Alain L.; Schmid, Bertram; Knochel, Paul
CS Department of Chemistry, Ludwig-Maximilians-Universitat Munchen, Munchen, D-81377, Germany
SO Tetrahedron (2003), 59(9), 1571-1587
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 139:36405
AB A general preparation of 2-substituted indoles starting from functionalized 2-alkynylanilines has been developed. This base mediated reaction has also been used to synthesize the heterocyclic core of the marine alkaloid hinckdentine A. Furthermore the reaction was successfully adapted to the solid phase. Benzofurans and isoindolones could also be prepared with this method.
IT 543741-14-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoles and related heterocycles by base-catalyzed cyclization of 2-alkynylanilines in solution or solid phase)
RN 543741-14-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 2-phenyl- (CA INDEX NAME)



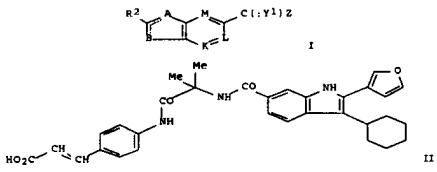
RE.CNT 99 THERE ARE 99 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:97397 CAPLUS Full-text
DN 138:153436
TI Preparation of indole-6-carboxamides and related compounds as hepatitis C viral polymerase inhibitors
IN Beaulieu, Pierre Louis; Fazal, Gulrez; Goulet, Sylvie; Kukolj, George; Poirier, Martin; Tsantrizos, Youla S.; Jolicoeur, Eric; Gillard, James;

Poupart, Marc-Andre; Rancourt, Jean
PA Boehringer Ingelheim (Canada) Ltd., Can.
SO PCT Int. Appl., 336 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

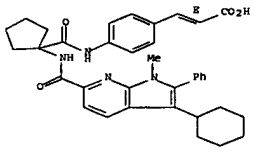
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003010141	A2	20030206	WO 2002-CA1128	20020718
	WO 2003010141	A3	20030530		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2449180	A1	20030206	CA 2002-2449180	20020718
	AU 2002313410	A1	20030217	AU 2002-313410	20020718
	US 2003176433	A1	20030918	US 2002-198680	20020718
	US 7157486	B2	20070102		
	US 2004024190	A1	20040205	US 2002-198384	20020718
	US 7141574	B2	20061128		
	EP 1414797	A2	20040506	EP 2002-752904	20020718
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002011360	A	20040713	BR 2002-11360	20020718
	JP 2004537564	T	20041216	JP 2003-515500	20020718
	HU 2004001784	A2	20041228	HU 2004-1784	20020718
	CN 1558759	A	20041229	CN 2002-818790	20020718
	CN 1610546	A	20050427	CN 2002-818797	20020718
	NZ 531229	A	20060331	NZ 2002-531229	20020718
	ZA 2004000122	A	20041103	ZA 2004-122	20040108
	IN 2004MN00026	A	20050429	IN 2004-MN26	20040112
	ZA 2004000240	A	20041101	ZA 2004-240	20040113
	NO 2004000322	A	20040226	NO 2004-322	20040123
	MX 2004PA00731	A	20040625	MX 2004-PA731	20040123
	US 2006160798	A1	20060720	US 2006-333163	20060117
	US 2006293306	A1	20061228	US 2006-464651	20060815
	IN 2007MN01665	A	20071102	IN 2007-MN1665	20071011
PRAI	US 2001-307674P	P	20010725		
	US 2001-338061P	P	20011207		
	US 2002-198384	A3	20020718		
	US 2002-198680	A3	20020718		
	WO 2002-CA1128	W	20020718		
	IN 2004-MN26	A3	20040112		

OS MARPAT 138:153436
GI

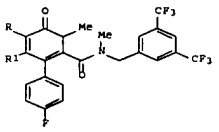


AB An isomer, enantiomer, diastereoisomer or tautomer of I (variables defined below; e.g. (E)-3-[4-[2-[[1-(3-cyclohexyl-2-furan-3-yl-1H-indol-6-yl)methanoyl]amino]-2-methylpropanoylamino]phenyl]acrylic acid (shown as II)), a salt or a derivative thereof, as inhibitors of HCV NS5B polymerase are claimed. For I: A is O, S, NR1, or CR1; solid line/dotted line combination = single or double bond; R2 = H, halogen, R21, OR21, SR21, COOR21, SO2N(R22)2, N(R22)2, CON(R22)2, NR22C(O)R22 or NR22C(O)NR22; B is NR3 or CR3, with the proviso that one of A or B is either CR1 or CR3; K is N or CR4; L is N or CR4; M is N or CR4; Y1 is O or S; Z is N(R6a)R6 or OR6, wherein R6a is H or alkyl or NR61R62; and R6 is H, alkyl, cycloalkyl, alkenyl, Het, alkyl-aryl, alkyl-Heterocycle or CR7R8C(:Y2)NR9Q; Y2 is O or S; R9 is H, (C1-6)alkyl, (C3-7)cycloalkyl or (C1-6)alkyl-(C3-7)cycloalkyl, aryl, Het, (C1-6)alkyl-aryl or (C1-6)alkyl-Het, all of which optionally are substituted with R90; or R9 is covalently bonded to either of R7 or R8 to form a 5- or 6-membered heterocycle; other variables are defined in the claims. About 350 I were tested for inhibitory activity against the hepatitis C virus RNA dependent polymerase (NS5B), e.g. IC50 < 500 nM for II. Forty-five example prepns. of I and intermediates are included. For example, 3-cyclohexyl-2-(furan-3-yl)-1H-indol-6-carboxylic acid (0.16 mmol), (E)-3-[4-(2-Amino-2-methylpropanoylamino)phenyl]acrylic acid Et ester (0.019 mmol) and O-(7-azabenzotriazol-1-yl)-N,N,N',N'- tetramethyluronium hexafluorophosphate (0.32 mmol) were dissolved in DMSO (1 mL); iPr2EtN (0.8 mmol) was added; the mixture was stirred for 1 h at room temperature then treated with 2.5 N NaOH (0.3 mL) for 1 h at 50° to affect hydrolysis of the cinnamate ester function; the mixture was then acidified to pH 1 with trifluoroacetic acid and II was isolated by preparative reversed-phase HPLC (0.033 g). Prepns. of the above reactants are also included.
IT 494858-20-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole-6-carboxamides and related compds. as
hepatitis C viral polymerase inhibitors)
RN 494858-20-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[[[1-[[(3-cyclohexyl-1-methyl-2-phenyl-1H-pyrrolo[2,3-b]pyridin-6-yl)carbonyl]amino]cyclopentyl]carbonyl]amino]phenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



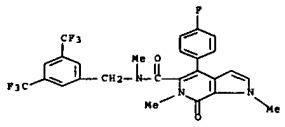
L11 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:706935 CAPLUS Full-text
DN 128:3591
TI Potent NK1 receptor antagonists: synthesis and antagonistic activity of various heterocycles with an N-[3,5-bis(trifluoromethyl)benzyl]-N-methylcarbamoyl substituent
AU Ikeura, Yoshinori; Tanaka, Toshimasa; Kiyota, Yutaka; Morimoto, Shinji; Ogino, Masaki; Ishimaru, Takenori; Kamo, Izumi; Doi, Takayuki; Natsugari, Hideaki
CS Pharmaceutical Research Division, Takeda Chemical Industries, Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1997), 45(10), 1642-1652
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI



I

AB Various N-[3,5-bis(trifluoromethyl)benzyl]-N-methylcarbamoyl heterocycles modified at rings A and B in the isoquinolone and pyrido[3,4-b]pyridine nuclei were prepared and evaluated for NK1 receptor antagonistic activities. The structure-activity relationship studies on this series, along with conformational anal., showed that for ring A, 6-membered heterocycles are preferable to 5-membered heterocycles (a ca. 300-fold difference in potency), the 6-membered ring seems to function as an anchor by fixing the pendant Ph group in a desirable orientation for receptor binding, and since compds. with aromatic rings and those with aliphatic rings as ring B both show good potency, this ring does not seem to be essential for receptor recognition. Among the compds. synthesized, the tetrahydropyridine derivs. I [RR1 =

NMe(CH2)3, CH2NMeCH2CH2, (CH2)3NMe] exhibited excellent inhibitory effects both in vitro and in vivo, with potent activity upon oral administration (ED50=0.20-0.27 mg/kg) (capsaicin-induced plasma extravasation in guinea pig trachea).
IT 168541-46-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-[3,5-bis(trifluoromethyl)benzyl]-N-methylcarbamoylpyridinone analogs as potent NK1 receptor antagonists)
RN 168541-46-6 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-6,7-dihydro-N,1,6-trimethyl-7-oxo- (CA INDEX NAME)



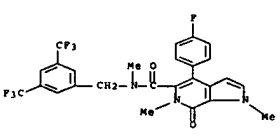
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:835514 CAPLUS Full-text
DN 123:256684
TI Preparation of pyridopyridinecarboxamides, thienopyridinecarboxamides, and related compounds as tachykinin antagonists and inhibitors of plasma extravasation.
IN Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 652218	A1	19950510	EP 1994-117576	19941108
	EP 652218	B1	20010711		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	NO 9404252	A	19950511	NO 1994-4252	19941108
	AT 203024	T	20010715	AT 1994-117576	19941108
	CA 2135440	A1	19950511	CA 1994-2135440	19941109
	FI 9405281	A	19950511	FI 1994-5281	19941109
	AU 9477738	A	19950518	AU 1994-77738	19941109
	AU 678295	B2	19970522		
	BR 9404403	A	19950718	BR 1994-4403	19941109
	JP 08067678	A	19960312	JP 1994-274699	19941109
	RU 2135471	C1	19990827	RU 1994-40174	19941109
	HU 68810	A2	19950519	HU 1994-3230	19941110

	CN 1107476	A	19950830	CN 1994-113866	19941110
	CN 1052004	B	20000503		
	US 5585385	A	19961217	US 1994-338762	19941110
	BR 9501976	A	19960430	BR 1995-1976	19950509
PRAI	JP 1993-281178	A	19931110		
	JP 1993-337488	A	19931228		
	JP 1994-33637	A	19940303		
	JP 1994-138551	A	19940621		

OS CASREACT 123:256684; MARPAT 123:256684
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; ring A, ring B = (substituted) homo- or heterocyclyl, ≥1 of them = (substituted) heterocyclyl; ring C = (substituted) benzene ring; R = H, (substituted) hydrocarbyl; 1 of X, Y = NR1, O; the other = CO, CS; or 1 of them = N; and the other = :CR2; R1 = H, (substituted) hydrocarbyl; R2 = H, halo, (substituted) hydrocarbyl, amino, OH; n = 1, 2], were prepared Thus, 5-(4-fluorophenyl)-7,8-dihydro-7-methyl- 8-oxo-6-pyrido[3,4-b]pyridinecarboxylic acid (preparation given) was refluxed with SOCl2 in benzene and ther residue in THF was refluxed with N-[3,5-bis(trifluoromethyl)benzyl]methylamine and Et3N to give N-[3,5-bis(trifluoromethyl)benzyl]-5-(4-fluorophenyl)-7,8-dihydro-N,7-di methyl-8-oxo-6-pyrido[3,4-b]pyridinecarboxamide (II). II inhibited substance P binding to IM-9 human lymphoblasts with IC50 = 0.08 nM. Tablets containing II were prepared
IT 168541-46-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridopyridinecarboxamides, thienopyridinecarboxamides, and related compds. as tachykinin antagonists and inhibitors of plasma extravasation)
RN 168541-46-6 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-6,7-dihydro-N,1,6-trimethyl-7-oxo- (CA INDEX NAME)

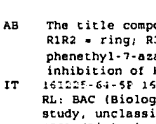


L11 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:382661 CAPLUS Full-text
DN 122:160629
TI Preparation and formulation of azaindoles as ulcer inhibitors
IN Takahashi, Toshihiro; Horigome, Masato; Momose, Kenichi; Nagai, Shinji; Sugita, Masanori; Katsuyama, Koichi; Suzuki, Chikako; Nakamaru, Koichi
PA Nisshin Flour Milling Co, Japan
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06247966	A	19940906	JP 1993-35267	19930224
	JP 3119758	B2	20001225		
PRAI	JP 1993-35267		19930224		

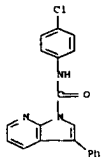
OS MARPAT 122:160629
GI



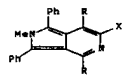
I

AB The title compds. I [R = (un)substituted Ph, etc.; R1, R2 = H, alkyl, etc.; or R1R2 = ring; R3 - R5 = H, OH, etc.; X = CH2CH2, etc.] are prepared 3-Benzyl-1-phenethyl-7-azaindole (preparation given) in vitro at 10 μg/mL gave 66.2% inhibition of H+, K+-ATPase.
IT 161225-64-5P 161225-65-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindoles as ulcer inhibitors)
RN 161225-64-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N,3-diphenyl- (CA INDEX NAME)

RN 161225-65-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxamide, N-(4-chlorophenyl)-3-phenyl- (CA INDEX NAME)

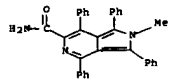


L11 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1993:82819 CAPLUS Full-text
DN 118:82819
TI Substituent effects on the spectra of fluorescent aryl-substituted N-methylpyrrolo[3,4-c]pyridines
AU Mataka, Shuntaro; Tashiro, Masashi; Misumi, Osamu; Lin, Wei Hua; Takahashi, Kazufumi; Torii, Akiyoshi
CS Inst. Adv. Mater. Study, Kyushu Univ., Kasuga, 816, Japan
SO Dyes and Pigments (1992), 20(2), 83-96
CODEN: DYPIDX; ISSN: 0143-7208
DT Journal
LA English
GI

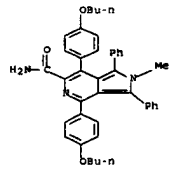


I

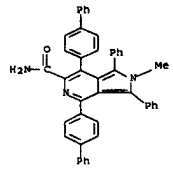
AB Introduction of an alkoxy group into the Ph ring at the 4 and 7 positions of I (R = aryl; X = CN, CONH2, CO2H) had little effect on the absorption and emission spectra of the title dyes, while introduction of a Br group caused a red shift in the spectrum of I (X = CN). I (X = CN, CO2Et, H) were strongly fluorescent, while the fluorescence of I (X = CONH2) was weak; I (X = CONHNH2, CO2H) were also weakly fluorescent with a large Stokes shift (.apprx.150 nm). Related pyridazines were not fluorescent.
IT 136124-51-1P 145551-39-9P 145551-40-2P
145551-52-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and fluorescence of, substituent effect in relation to)
RN 136124-51-1 CAPLUS
CN 2H-Pyrrolo[3,4-c]pyridine-6-carboxamide, 2-methyl-1,3,4,7-tetraphenyl- (CA INDEX NAME)



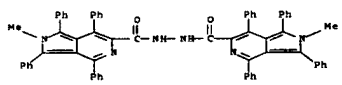
RN 145551-39-9 CAPLUS
CN 2H-Pyrrolo[3,4-c]pyridine-6-carboxamide, 4,7-bis(4-butoxyphenyl)-2-methyl-1,3-diphenyl- (CA INDEX NAME)



RN 145551-40-2 CAPLUS
CN 2H-Pyrrolo[3,4-c]pyridine-6-carboxamide, 4,7-bis([1,1'-biphenyl]-4-yl)-2-methyl-1,3-diphenyl- (CA INDEX NAME)



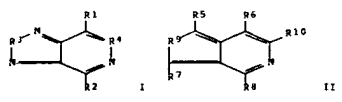
RN 145551-52-6 CAPLUS
CN 2H-Pyrrolo[3,4-c]pyridine-6-carboxylic acid, 2-methyl-1,3,4,7-tetraphenyl-, 2-[(2-methyl-1,3,4,7-tetraphenyl-2H-pyrrolo[3,4-c]pyridin-6-yl)carbonyl]hydrazide (CA INDEX NAME)



L11 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:546246 CAPLUS Full-text
DN 115:146246
TI Organic electroluminescent device
IN Tashiro, Masashi; Mataga, Shuntaro; Takahashi, Kazufumi; Saito, Shogo; Tsutsui, Tetsuo; Adachi, Chihaya; Sato, Yoshiharu; Maeda, Shuichi
PA Mitsubishi Kasei Corp., Japan
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 406762	A2	19910109	EP 1990-112589	19900702
	EP 406762	A3	19911106		
	EP 406762	B1	19940928		
	R: DE, FR, GB, NL				
	JP 03037292	A	19910218	JP 1989-172176	19890704
	JP 03037293	A	19910218	JP 1989-172177	19890704
	JP 03203982	A	19910905	JP 1989-343982	19891228
	US 5059863	A	19911022	US 1990-547147	19900703
PRAI	JP 1989-172176	A	19890704		
	JP 1989-172177	A	19890704		
	JP 1989-343982	A	19891228		

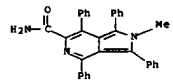
OS MARPAT 115:146246
GI



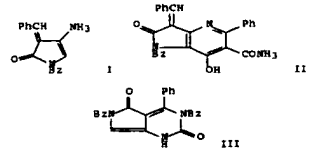
I II

AB An organic electroluminescent device, comprising an organic hole-injection transport layer and an organic luminescent layer formed between 2 electrodes, is claimed in which the luminescent layer contains a compound described by the general formula I (R1, R2 = an optionally substituted aromatic hydrocarbon group; R3 = S, O, Se, or N optionally bearing a substituent; R4 = N or C optionally bearing a substituent), a compound described by the general formula II (R5, R6, R7, R8 = an aromatic hydrocarbon group optionally bearing a substituent; R4 = S, O, Se, or N which may have a substituent); R10 = H, amido, cyano, an ester group, alkyl, carboxyl, an optionally substituted

aromatic hydrocarbon group, or an optionally substituted aromatic heterocyclic group), or a naphthyridine derivative
IT 136124-51-1
RL: DEV (Device component use); USES (Uses)
(electroluminescent devices containing)
RN 136124-51-1 CAPLUS
CN 2H-Pyrrolo[3,4-c]pyridine-6-carboxamide, 2-methyl-1,3,4,7-tetraphenyl- (CA INDEX NAME)



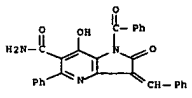
L11 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:477869 CAPLUS Full-text
DN 111:77869
TI Synthesis of 1-benzoylpyrroline derivative and related compounds
AU Elbannany, Affaf A. A.; Ibrahim, Laila I.
CS Fac. Sci., Helwan Univ., Egypt
SO Zeitschrift fuer Naturforschung, B: Chemical Sciences (1989), 44(2), 233-6
CODEN: ZNBSEN; ISSN: 0932-0776
DT Journal
LA English
OS CASREACT 111:77869
GI



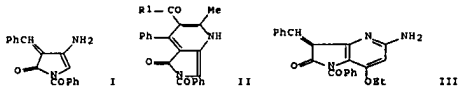
I II III

AB Several new pyrroline derivs. were prepared from 4-amino-1-benzoyl-3-benzylidene-4-pyrrolin-2-one (I). E.g., I cyclized with PhCH:C(CN)CO2Et to give pyrrolopyridine derivative II and with BzNCS to give pyrrolopyrimidinedione III.
IT 121836-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)

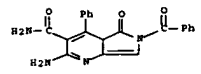
(preparation of)
RN 121876-44-6 CAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-6-carboxamide, 1-benzoyl-2,3-dihydro-7-hydroxy-2-oxo-5-phenyl-3-(phenylmethylene)- (CA INDEX NAME)



L11 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1988:112281 CAPLUS Full-text
DN 108:112281
TI Synthesis of pyrrole, pyrrolo[3,4-b]pyridine and pyrrolo[3,2-b]pyridine derivatives
AU Elbannany, Afaf A. A.; Ibrahim, Laila L.
CS Fac. Sci., Helwan Univ., Cairo, Egypt
SO Heterocycles (1987), 26(9), 2323-6
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 108:112281
GI



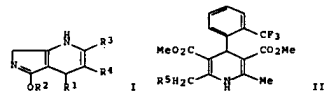
AB The reaction of PhCH:C(CN)CO2Et with hippuric acid gave pyrrolinamine derivative I, which was converted to pyrrolopyridines II (R1 = OEt, Me) and III. I was treated with MeCOCH2COMe to give II (R1 = Me). III was obtained from I and NCCH2CO2Et.
IT 113268-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 113268-94-3 CAPLUS
CN 5H-Pyrrolo[3,4-b]pyridine-3-carboxamide, 2-amino-6-benzoyl-4a,6-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



L11 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1987:636685 CAPLUS Full-text
DN 107:236685
TI Preparation of pyrrolopyridines as cardiovascular agents
IN Mannhardt, Karl; Klimara, Michael; Hartenstein, Johannes; Wagner, Bernd; Weinheimer, Guenter; Steinbrecher, Wolfgang
PA Goedecke A.-G., Fed. Rep. Ger.
SO Ger. Offen., 6 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

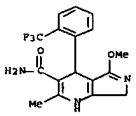
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3605742	A1	19870827	DE 1986-3605742	19860222
DK 8700877	A	19870823	DK 1987-877	19870220
EP 234517	A1	19870902	EP 1987-102399	19870220
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 62198679	A	19870902	JP 1987-35941	19870220
PRAI DE 1986-3605742	A	19860222		

OS CASREACT 107:236685; MARPAT 107:236685
GI



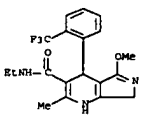
AB The title compds. [I; R1 = (substituted) aryl, heteroaryl; R2 = H, Me, Et; R3 = (O-, S-, or N-containing) hydrocarbyl; R4 = (modified) carboxylate] were prepared as cardiovascular agents (no data). (Bromomethyl)dihydropyridinedi carboxylate II (R5 = Br) was stirred with potassium phthalamide in DMF to give II (R5 = phthalimido), which was heated in H2NCH2CH2OH to give I [R1 = 2-(F3C)C6H4, R2 = H, R3 = Me, R4 = CO2Me].
IT 111361-59-2P 111361-60-5P 111361-61-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 111361-59-2 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-3-carboxamide, 4,7-dihydro-5-methoxy-2-methyl-4-

[2-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



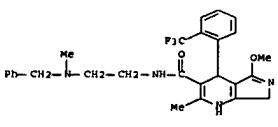
● HCl

RN 111361-60-5 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-3-carboxamide, N-ethyl-4,7-dihydro-5-methoxy-2-methyl-4-[2-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111361-61-6 CAPLUS
CN 1H-Pyrrolo[3,4-b]pyridine-3-carboxamide, 4,7-dihydro-5-methoxy-2-methyl-N-[2-[methyl(phenylmethyl)amino]ethyl]-4-[2-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> log hold

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FULL ESTIMATED COST	139.64	376.31
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-20.80	-20.80

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